```
=>  b reg
FILE 'REGISTRY' ENTERED AT 15:55:57 ON 15 DEC 2005
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Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES:   14 DEC 2005  HIGHEST RN 869939-98-0
DICTIONARY FILE UPDATES:  14 DEC 2005  HIGHEST RN 869939-98-0

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2005

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

**********************************************************************
*                                                                    *
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added,    *
* effective March 20, 2005.  A new display format, IDERL, is now     *
* available and contains the CA role and document type information. *
*                                                                    *
**********************************************************************

Structure search iteration limits have been increased.  See HELP SLIMITS
for details.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document.  For information
on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

=> d que sta l2
L1                STR
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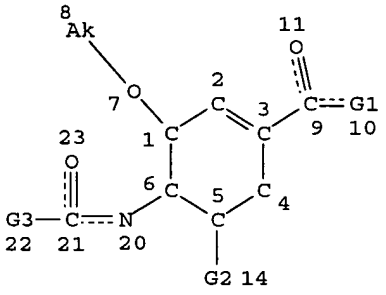

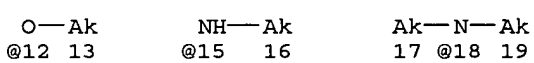

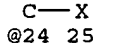


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VAR G3=ME/24
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DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED
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GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 25

STEREO ATTRIBUTES: NONE
L2 110 SEA FILE=REGISTRY SSS FUL L1

100.0% PROCESSED 290 ITERATIONS 110 ANSWERS
SEARCH TIME: 00.00.01

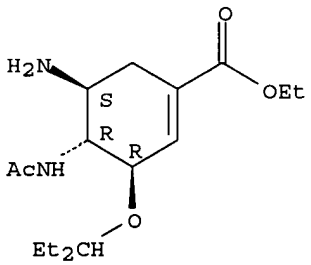
=> d ide can l11 tot

L11 ANSWER 1 OF 9 REGISTRY COPYRIGHT 2005 ACS on STN
RN **756819-03-1** REGISTRY
ED Entered STN: 05 Oct 2004
CN 1-Cyclohexene-1-carboxylic acid, 4-(acetylamino)-5-amino-3-(1-ethylpropoxy)-, ethyl ester, (3R,4R,5S)-, sulfate (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF **C16 H28 N2 O4 . x H2 O4 S**
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

CM 1

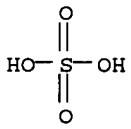
CRN 196618-13-0
CMF C16 H28 N2 O4

Absolute stereochemistry. Rotation (-).



CM 2

CRN 7664-93-9
CMF H2 O4 S



2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 141:289088

REFERENCE 2: 141:254560

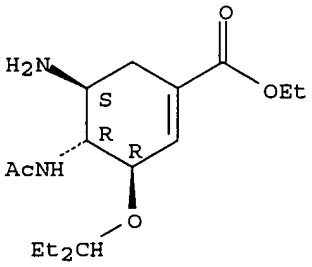
L11 ANSWER 2 OF 9 REGISTRY COPYRIGHT 2005 ACS on STN
RN **371193-46-3** REGISTRY

ED Entered STN: 21 Nov 2001
CN 1-Cyclohexene-1-carboxylic acid, 4-(acetylamino)-5-amino-3-(1-ethylpropoxy)-, ethyl ester, (3R,4R,5S)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF **C16 H28 N2 O4 . C2 H F3 O2**
SR CA
LC STN Files: CA, CAPLUS

CM 1

CRN 196618-13-0
CMF C16 H28 N2 O4

Absolute stereochemistry. Rotation (-).



CM 2

CRN 76-05-1
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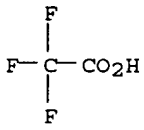


1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

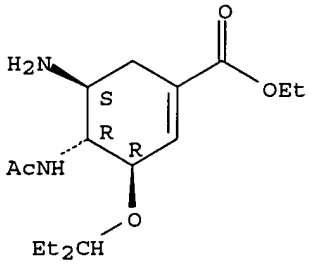
REFERENCE 1: 135:339211

L11 ANSWER 3 OF 9 REGISTRY COPYRIGHT 2005 ACS on STN
RN **209965-30-0** REGISTRY
ED Entered STN: 16 Aug 1998
CN 1-Cyclohexene-1-carboxylic acid, 4-(acetylamino)-5-amino-3-(1-ethylpropoxy)-, ethyl ester, (3R,4R,5S)-, 2-hydroxy-1,2,3-propanetricarboxylate (1:1) (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF **C16 H28 N2 O4 . C6 H8 O7**
SR CA
LC STN Files: ADISINSIGHT, CA, CAPLUS, PROUSDDR, PS, SYNTHLINE

CM 1

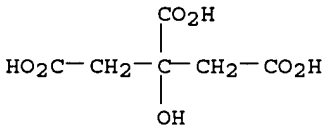
CRN 196618-13-0
CMF C16 H28 N2 O4

Absolute stereochemistry. Rotation (-).



CM 2

CRN 77-92-9
CMF C6 H8 O7



1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

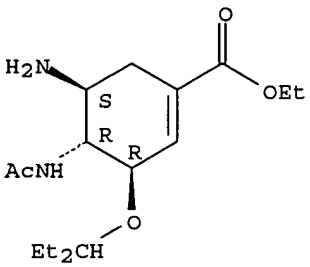
REFERENCE 1: 129:108844

L11 ANSWER 4 OF 9 REGISTRY COPYRIGHT 2005 ACS on STN
RN **204255-11-8** REGISTRY
ED Entered STN: 17 Apr 1998
CN 1-Cyclohexene-1-carboxylic acid, 4-(acetylamino)-5-amino-3-(1-ethylpropoxy)-, ethyl ester, (3R,4R,5S)-, phosphate (1:1) (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN 1-Cyclohexene-1-carboxylic acid, 4-(acetylamino)-5-amino-3-(1-ethylpropoxy)-, ethyl ester, [3R-(3α,4β,5α)]-, phosphate (1:1)
OTHER NAMES:
CN Ethyl (3R,4R,5S)-4-N-Acetylamino-5-amino-3-(1-ethylpropoxy)-1-cyclohexene-1-carboxylate phosphate (1:1)
CN Oseltamivir phosphate
CN Ro 64-0796/002
CN Tamiflu
FS STEREOSEARCH
DR 332047-25-3
MF **C16 H28 N2 O4 . H3 O4 P**
SR CA
LC STN Files: ADISINSIGHT, BIOSIS, CA, CAPLUS, CASREACT, CBNB, EMBASE, IMSPATENTS, IMSRESEARCH, IPA, MRCK*, PATDPASPC, PROUSDDR, PS, SYNTHLINE, TOXCENTER, USAN, USPAT2, USPATFULL
(*File contains numerically searchable property data)

CM 1

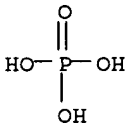
CRN 196618-13-0
CMF C16 H28 N2 O4

Absolute stereochemistry. Rotation (-).



CM 2

CRN 7664-38-2
CMF H3 O4 P



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

50 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
50 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 143:298541

REFERENCE 2: 143:71735

REFERENCE 3: 142:422663

REFERENCE 4: 142:336053

REFERENCE 5: 142:232060

REFERENCE 6: 142:147402

REFERENCE 7: 141:325198

REFERENCE 8: 141:307025

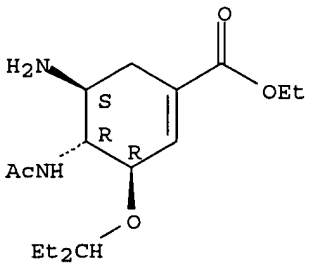
REFERENCE 9: 141:277243

REFERENCE 10: 141:116505

L11 ANSWER 5 OF 9 REGISTRY COPYRIGHT 2005 ACS on STN
RN **204255-09-4** REGISTRY
ED Entered STN: 17 Apr 1998
CN 1-Cyclohexene-1-carboxylic acid, 4-(acetylamino)-5-amino-3-(1-ethylpropoxy)-, ethyl ester, monohydrochloride, (3R,4R,5S)- (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN 1-Cyclohexene-1-carboxylic acid, 4-(acetylamino)-5-amino-3-(1-ethylpropoxy)-, ethyl ester, monohydrochloride, [3R-(3α,4β,5α)]-
FS STEREOSEARCH
MF **C16 H28 N2 O4 . Cl H**

SR CA
LC STN Files: ADISINSIGHT, CA, CAPLUS, EMBASE, PROUSDDR, PS, SYNTHLINE, USPATFULL
CRN (196618-13-0)

Absolute stereochemistry. Rotation (-).



● HCl

3 REFERENCES IN FILE CA (1907 TO DATE)
3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

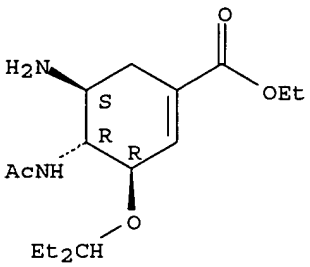
REFERENCE 1: 131:338591

REFERENCE 2: 130:237311

REFERENCE 3: 128:217186

L11 ANSWER 6 OF 9 REGISTRY COPYRIGHT 2005 ACS on STN
RN **196618-13-0** REGISTRY
ED Entered STN: 31 Oct 1997
CN 1-Cyclohexene-1-carboxylic acid, 4-(acetylamino)-5-amino-3-(1-ethylpropoxy)-, ethyl ester, (3R,4R,5S)- (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN 1-Cyclohexene-1-carboxylic acid, 4-(acetylamino)-5-amino-3-(1-ethylpropoxy)-, ethyl ester, [3R-(3α,4β,5α)]-
OTHER NAMES:
CN GS 4104
CN Oseltamivir
CN Tamvir
FS STEREOSEARCH
MF **C16 H28 N2 O4**
CI COM
SR CA
LC STN Files: ADISINSIGHT, BIOSIS, BIOTECHNO, CA, CAPLUS, CASREACT, CBNB, EMBASE, IMSDRUGNEWS, IMSPATENTS, IMSRESEARCH, IPA, MRCK*, PATDPASPC, PHAR, PROUSDDR, PS, RTECS*, SYNTHLINE, TOXCENTER, USAN, USPAT2, USPATFULL
(*File contains numerically searchable property data)

Absolute stereochemistry. Rotation (-).



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

173 REFERENCES IN FILE CA (1907 TO DATE)
8 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
175 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 143:452011

REFERENCE 2: 143:451951

REFERENCE 3: 143:416110

REFERENCE 4: 143:339604

REFERENCE 5: 143:286223

REFERENCE 6: 143:262893

REFERENCE 7: 143:259535

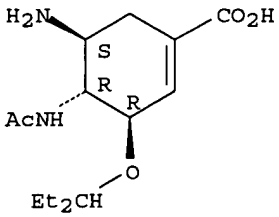
REFERENCE 8: 143:253491

REFERENCE 9: 143:241402

REFERENCE 10: 143:185954

L11 ANSWER 7 OF 9 REGISTRY COPYRIGHT 2005 ACS on STN
RN **187227-45-8** REGISTRY
ED Entered STN: 18 Mar 1997
CN 1-Cyclohexene-1-carboxylic acid, 4-(acetylamino)-5-amino-3-(1-ethylpropoxy)-, (3R,4R,5S)- (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN 1-Cyclohexene-1-carboxylic acid, 4-(acetylamino)-5-amino-3-(1-ethylpropoxy)-, [3R-(3α,4β,5α)]-
OTHER NAMES:
CN GS 4071
CN Oseltamivir acid
CN Ro 64-0802
FS STEREOSEARCH
MF **C14 H24 N2 O4**
SR CA
LC STN Files: BIOSIS, BIOTECHNO, CA, CAPLUS, EMBASE, IPA, TOXCENTER, USPATFULL

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

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1 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
72 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 143:416110

REFERENCE 2: 143:379157

REFERENCE 3: 143:278511

REFERENCE 4: 143:259534

REFERENCE 5: 143:259533

REFERENCE 6: 143:126699

REFERENCE 7: 143:90259

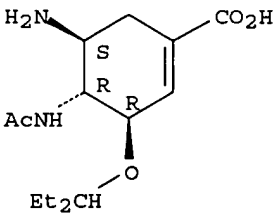
REFERENCE 8: 142:441277

REFERENCE 9: 142:126604

REFERENCE 10: 142:110237

L11 ANSWER 8 OF 9 REGISTRY COPYRIGHT 2005 ACS on STN
RN **182367-71-1** REGISTRY
ED Entered STN: 29 Oct 1996
CN 1-Cyclohexene-1-carboxylic acid, 4-(acetylamino)-5-amino-3-(1-ethylpropoxy)-, (3α,4β,5α)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF **C14 H24 N2 O4**
SR CA
LC STN Files: CA, CAPLUS, USPAT2, USPATFULL

Relative stereochemistry.



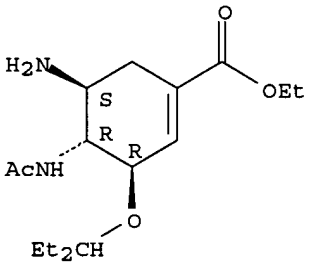
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 125:300503

L11 ANSWER 9 OF 9 REGISTRY COPYRIGHT 2005 ACS on STN
RN **182367-47-1** REGISTRY
ED Entered STN: 29 Oct 1996
CN 1-Cyclohexene-1-carboxylic acid, 4-(acetylamino)-5-amino-3-(1-ethylpropoxy)-, ethyl ester, (3α,4β,5α)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF **C16 H28 N2 O4**
SR CA
LC STN Files: CA, CAPLUS, PROUSDDR, USPAT2, USPATFULL

Relative stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 125:300503

=> b hcap

FILE 'HCAPLUS' ENTERED AT 15:56:12 ON 15 DEC 2005
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 15 Dec 2005 VOL 143 ISS 25
FILE LAST UPDATED: 14 Dec 2005 (20051214/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d all fhitstr l50 tot

L50 ANSWER 1 OF 15 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 1999:582659 HCAPLUS

DN 131:228949
ED Entered STN: 16 Sep 1999
TI Preparation of amino acid cyclitols as antiviral agents and neuraminidase inhibitors
IN **Bischofberger, Norbert W.**; **Kim, Choung U.**; **Lew, Willard**; Liu, Hongtao; **Williams, Matthew A.**
PA **Gilead** Sciences, Inc., USA
SO U.S., 157 pp., Cont.-in-part of U.S. Ser. No. 580,567, abandoned.
CODEN: USXXAM
DT Patent
LA English
IC ICM A61K031-35
ICS A61K031-28
INCL 514459000
CC 33-6 (Carbohydrates)
Section cross-reference(s): 1, 7, 63
FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	US 5952375	A	19990914	US 1996-606624	19960226	<--
	US 5866601	A	19990202	US 1995-476946	19950606	<--
	US 2005176758	A1	20050811	US 1996-653034	19960524	<--
	TW 426663	B	20010321	TW 1996-85107487	19960621	<--
	US 6225341	B1	20010501	US 1999-288091	19990408	<--
	US 2002058823	A1	20020516	US 2000-740504	20001219	<--
	AU 772214	B2	20040422	AU 2001-97150	20011207	<--
PRAI	US 1995-395245	B2	19950227	<--		
	US 1995-476946	A2	19950606	<--		
	US 1995-580567	B2	19951229	<--		
	US 1996-12299P	P	19960226	<--		
	US 1996-606624	A1	19960226	<--		
	WO 1996-US2882	W	19960226	<--		
	US 1996-653034	A	19960524	<--		
	US 1996-701942	A	19960823	<--		
	US 1996-702308	A	19960823	<--		
	AU 1997-41579	A3	19970822	<--		
	WO 1997-US14813	W	19970822	<--		
	US 1999-242119	A3	19990428			

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES	
US 5952375	ICM	A61K031-35	
	ICS	A61K031-28	
	INCL	514459000	
US 5952375	NCL	514/459.000; 514/492.000	
	ECLA	C07D309/28	<--
US 5866601	NCL	514/459.000; 514/102.000; 514/315.000; 514/365.000; 514/381.000; 514/396.000; 514/401.000	
	ECLA	C07D309/28	<--
US 2005176758	NCL	514/310.000	
	ECLA	C07D309/28	<--
US 6225341	NCL	514/459.000; 549/424.000	
	ECLA	C07D309/28	<--
US 2002058823	NCL	549/436.000	
	ECLA	C07C227/08; C07D203/26; C07D303/40; C07D317/46	<--

OS MARPAT 131:228949
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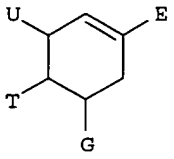

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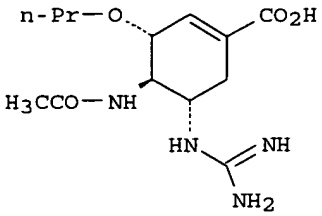

II

AB Amino acid cyclitols I (E = CO2H, ester; G = substituted amine; T = amide; U = alkoxy, thioalkyl, alkylamine) were prepared as virucides. Methods of inhibiting neuraminidase in samples suspected of containing neuraminidase are also described. Antigenic materials, polymers, antibodies, conjugates of the compds. of the invention with labels, and assay methods for detecting neuraminidase activity are also described. Thus, cyclitol II.TFA was prepared and tested for its antiviral activity against influenza.

ST influenza antiviral amino acid cyclitol prepn; amino acid cyclitol prepn antiviral neuraminidase inhibitor

IT Cyclitols
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(amino; preparation of amino acid cyclitols as influenza antiviral agents and neuraminidase inhibitors)

IT Antiviral agents
Influenza
(preparation of amino acid cyclitols as influenza antiviral agents and neuraminidase inhibitors)

IT **196618-13-0P** 208720-80-3P 243472-95-9P 243472-96-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of amino acid cyclitols as influenza antiviral agents and neuraminidase inhibitors)

IT 187227-00-5P 208720-13-2P 208720-18-7P **208720-20-1P**
208720-26-7P 208720-28-9P 208720-38-1P 221386-84-1P
243472-88-0P 243472-94-8P 243472-97-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of amino acid cyclitols as influenza antiviral agents and neuraminidase inhibitors)

IT 9001-67-6, Neuraminidase
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(preparation of amino acid cyclitols as influenza antiviral agents and neuraminidase inhibitors)

IT 75-85-4, tert-Amyl alcohol 77-95-2, D-Quinic acid 107-03-9, 1-Propanethiol 108-94-1, Cyclohexanone, reactions 138-59-0, Shikimic acid 584-02-1, 3-Pentanol 4530-20-5, Boc-glycine 14898-79-4 25952-53-8, EDAP 60099-09-4, Benzyl formimidate hydrochloride 109430-30-0 145013-05-4 182883-92-7 208720-29-0 221386-93-2 243472-90-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of amino acid cyclitols as influenza antiviral agents and neuraminidase inhibitors)

IT 32384-42-2P 35949-53-2P 76985-84-7P 88165-26-8P 97373-88-1P
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187227-45-8P **195210-92-5P** 195210-94-7P 204254-81-9P
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RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of amino acid cyclitols as influenza antiviral agents and neuraminidase inhibitors)

RE.CNT 94 THERE ARE 94 CITED REFERENCES AVAILABLE FOR THIS RECORD

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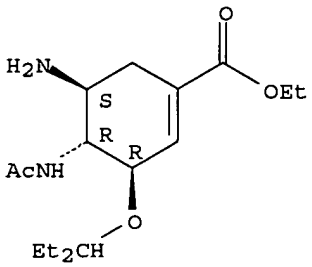
IT **196618-13-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of amino acid cyclitols as influenza antiviral agents and neuraminidase inhibitors)

RN 196618-13-0 HCAPLUS

CN 1-Cyclohexene-1-carboxylic acid, 4-(acetylamino)-5-amino-3-(1-ethylpropoxy)-, ethyl ester, (3R,4R,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L50 ANSWER 2 OF 15 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 1999:404916 HCAPLUS
DN 131:44605
ED Entered STN: 01 Jul 1999
TI Preparation of cyclohexenecarboxylates as neuraminidase inhibitors
IN **Kim, Choung U.**; **Lew, Willard**
PA **Gilead** Sciences, Inc., USA
SO PCT Int. Appl., 45 pp.
CODEN: PIXXD2
DT Patent
LA English
IC ICM C07C233-52
ICS C07C069-75; C07C069-757; A61K031-16; A61K031-215
CC 24-5 (Alicyclic Compounds)
Section cross-reference(s): 1
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	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG					
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	WO 1998-US26327	W	19981210			

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PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES	
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WO 9931047	ECLA	C07C233/52; C07C247/14; C07C271/24; C07D203/26; C07D295/14A1; C07D303/40; C07D317/46	<--
US 6111132	NCL	560/125.000; 564/123.000	

ECLA C07C233/52; C07C247/14; C07C271/24; C07D203/26; C07D295/14A1; C07D303/40; C07D317/46 <--

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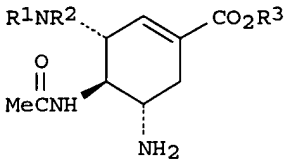

I

AB The title compds. I [R1, R2,, and R3 as defined], neuraminidase inhibitors, were prepared E.g., I (R1 = H, R2 = CHEt2, R3 = K) was prepared

ST cyclohexenecarboxylate prepn neuraminidase inhibitor

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227600-58-0P 227600-59-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of cyclohexenecarboxylates as neuraminidase inhibitors)

IT 9001-67-6, Neuraminidase
RL: BSU (Biological study, unclassified); MSC (Miscellaneous); BIOL (Biological study)
(preparation of cyclohexenecarboxylates as neuraminidase inhibitors)

IT 227599-96-4P
RL: BYP (Byproduct); PREP (Preparation)
(preparation of cyclohexenecarboxylates as neuraminidase inhibitors)

IT 96-22-0, 3-Pentanone 36413-60-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of cyclohexenecarboxylates as neuraminidase inhibitors)

IT 4620-57-9P 182367-90-4P 227599-82-8P 227599-83-9P 227599-84-0P
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227600-02-4P 227600-03-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of cyclohexenecarboxylates as neuraminidase inhibitors)

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD

RE

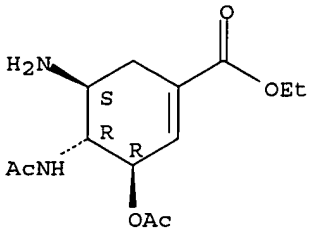
(1) Biota Scient Management; WO 9116320 A 1991 HCAPLUS

IT **227599-87-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of cyclohexenecarboxylates as neuraminidase inhibitors)

RN 227599-87-3 HCAPLUS

CN 1-Cyclohexene-1-carboxylic acid, 4-(acetylamino)-3-(acetyloxy)-5-amino-, ethyl ester, (3R,4R,5S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L50 ANSWER 3 OF 15 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 1999:216889 HCAPLUS
DN 130:237807
ED Entered STN: 07 Apr 1999
TI Preparation of antiviral unsaturated aminodeoxy cyclitols as neuraminidase inhibitors
IN **Bischofberger, Norbert W.**; **Dahl, Terrence C.**; **Hitchcock, Michael J. M.**; **Kim, Choung U.**; **Lew, Willard**; Liu, Hongtao; **Mills, Roger G.**; **Williams, Matthew A.**
PA **Gilead Sciences, Inc.**, USA
SO PCT Int. Appl., 390 pp.
CODEN: PIXXD2
DT Patent
LA English
IC ICM C07C233-62
ICS C07C233-63; C07C279-16; A61K031-155; A61K031-16; A61K031-215
CC 33-7 (Carbohydrates)
Section cross-reference(s): 1, 7, 63
FAN.CNT 1

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PI	WO 9914185	A1	19990325	WO 1998-US19355	19980915 <--
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	IN 190983	A	20030906	IN 1998-DE2791	19980917 <--
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PRAI	US 1997-59308P	P	19970917 <--		
	US 1997-60195P	P	19970926 <--		
	US 1997-938644	A	19970926 <--		
	WO 1998-US19355	W	19980915		
	US 1998-153964	A1	19980916 <--		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
WO 9914185	ICM	C07C233-62

ICS C07C233-63; C07C279-16; A61K031-155; A61K031-16; A61K031-215
US 2004053999 NCL 514/519.000 <--
OS MARPAT 130:237807
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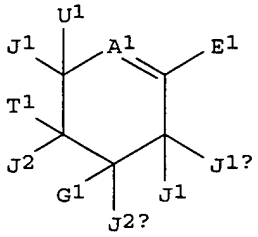

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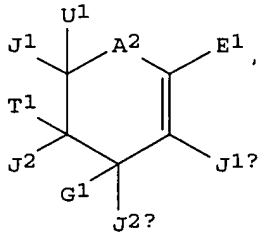

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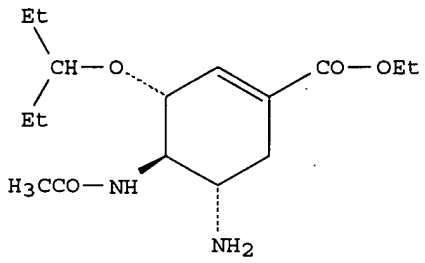

III

AB Unsatd. aminodeoxy cyclitols I and II [A1 = CJ1, n, NO; A2 = C(J1)2, NJ1, NOJ1, S, SO, SO2, O; E1 = substituted alkyl, ester; G1 = NH2, N3, CN, OH, alkoxy, NO2, substituted alkyl; T1 = amine, H, acyl amide, halo, CN, nitro, alkoxy, sulfonyl; U1 = H, acyl amide, halo, CN, nitro, alkoxy, sulfonyl; J1, J1a = independently H, alkyl, halo, CN, NO2, N3; J2, J2a = independently H, alkyl] were prepared as neuraminidase inhibitors. The compds. generally comprise an acidic group, a basic group, a substituted amino or N-acyl and a group having an optionally hydroxylated alkane moiety. Methods of inhibiting neuraminidase in samples suspected of containing neuraminidase are also described. Antigenic materials, polymers, antibodies, conjugates of the compds. of the invention with labels, and assay methods for detecting neuraminidase activity are also described. Thus cyclitol III was prepared and tested for its inhibition of neuraminidase.

ST antiviral unsatd aminodeoxy cyclitol prepn neuraminidase inhibitor

IT Antiviral agents
(preparation of antiviral unsatd. aminodeoxy cyclitols as neuraminidase inhibitors)

IT Cyclitols
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of antiviral unsatd. aminodeoxy cyclitols as neuraminidase inhibitors)

IT **187226-99-9P 187227-32-3P 196618-13-0P**
208720-80-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of antiviral unsatd. aminodeoxy cyclitols as neuraminidase inhibitors)

IT 187226-83-1P 187227-00-5P **187227-28-7P** **187227-39-0P**
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RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of antiviral unsatd. aminodeoxy cyclitols as neuraminidase inhibitors)

IT 9001-67-6, Neuraminidase
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(preparation of antiviral unsatd. aminodeoxy cyclitols as neuraminidase inhibitors)

IT 102-04-5, 1,3-Diphenylacetone 138-59-0, Shikimic acid 3277-89-2, Phenethylmagnesium bromide 4530-20-5, Boc-glycine 36413-60-2
40983-58-2, Methyl shikimate 145013-05-4 204255-02-7 208720-82-5
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221387-44-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of antiviral unsatd. aminodeoxy cyclitols as neuraminidase inhibitors)

IT 1992-50-3P 5381-92-0P 17486-86-1P 20651-70-1P 32384-42-2P
35949-53-2P 42411-62-1P 76985-84-7P 88165-26-8P 97373-88-1P
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187227-02-7P 187227-05-0P 187227-08-3P 187227-10-7P 187227-12-9P
187227-16-3P 187227-22-1P 187227-25-4P 195244-39-4P 195244-40-7P
195244-41-8P 195244-42-9P 195244-43-0P 195244-45-2P 204254-79-5P
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221386-64-7P 221386-74-9P 221386-80-7P 221386-81-8P 221386-82-9P
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221387-57-1P 221387-58-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of antiviral unsatd. aminodeoxy cyclitols as neuraminidase inhibitors)

RE.CNT 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD

RE
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(2) Anon; WO 9116320 A HCAPLUS
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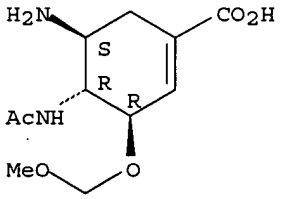
(14) Lijun, Z; BIOORGANIC & MEDICINAL CHEMISTRY LETTERS 1997, V7(14), P1847
(15) Williams, M; BIOORGANIC & MEDICINAL CHEMISTRY LETTERS 1997, V7(14), P1837 HCAPLUS
IT **187226-99-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of antiviral unsatd. aminodeoxy cyclitols as neuraminidase inhibitors)
RN 187226-99-9 HCAPLUS
CN 1-Cyclohexene-1-carboxylic acid, 4-(acetylamino)-5-amino-3-(methoxymethoxy)-, (3R,4R,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L50 ANSWER 4 OF 15 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 1999:205365 HCAPLUS
DN 130:237311
ED Entered STN: 01 Apr 1999
TI Preparation of carbocyclic compounds
IN Kent, Kenneth M.; **Kim, Choung U.**; Mcgee, Lawrence R.; Munger, John D.; Prisbe, Ernest J.; Postich, Michael J.; Rohloff, John C.; Kelly, Daphne E.; **Williams, Matthew A.**; Zhang, Lijun
PA **Gilead** Sciences, Inc., USA
SO U.S., 24 pp.
CODEN: USXXAM
DT Patent
LA English
IC ICM C07C205-04
ICS C07C229-08
INCL 560156000
CC 24-5 (Alicyclic Compounds)
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5886213	A	19990323	US 1997-917640	19970822 <--

PRAI US 1997-917640 19970822 <--
CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
US 5886213	ICM	C07C205-04
	ICS	C07C229-08
	INCL	560156000
US 5886213	NCL	560/156.000; 560/169.000; 560/170.000
	ECLA	C07C233/52; C07C247/14 <--

OS MARPAT 130:237311
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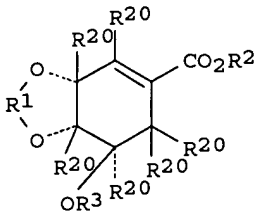

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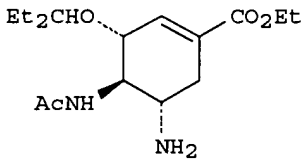

II

AB The title compds. I [R1 = cyclic OH protecting group; R2 = carboxylic acid protecting group; R3 = OH protecting group; R20 = H, C1-12 alkyl], useful as intermediates in the synthesis of neuraminidase inhibitors, were prepared E.g., carbocycle II was prepared in several steps from (-)-quinic acid.
ST carbocyclic compd prepn
IT 77-95-2 96-22-0, 3-Pentanone
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of carbocyclic compds.)
IT **196618-13-0P** 204254-79-5P 204254-81-9P 204254-84-2P
204254-90-0P 204254-92-2P 204254-94-4P 204254-96-6P 204254-98-8P
204255-00-5P 204255-02-7P 204255-06-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of carbocyclic compds.)
IT **204255-09-4P 204255-11-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of carbocyclic compds.)
RE.CNT 48 THERE ARE 48 CITED REFERENCES AVAILABLE FOR THIS RECORD
RE
(1) Anon; WO 9116320 1991 HCAPLUS
(2) Anon; EP 0539204 A1 1992 HCAPLUS
(3) Anon; WO 9206691 1992 HCAPLUS
(4) Anon; WO 9312105 1993 HCAPLUS
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(7) Anon; WO 9407886 1994 HCAPLUS
(8) Anon; WO 9428956 1994
(9) Anon; WO 9429476 1994 HCAPLUS
(10) Anon; WO 9500503 1995 HCAPLUS
(11) Anon; GB 9510141 1995
(12) Anon; GB 9516276 1995
(13) Anon; WO 9516680 1995 HCAPLUS
(14) Anon; WO 9518800 1995 HCAPLUS
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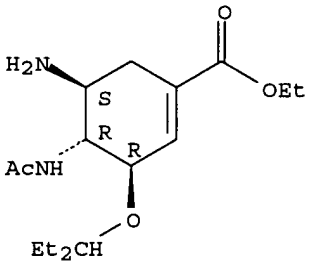
IT **196618-13-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of carbocyclic compds.)

RN 196618-13-0 HCAPLUS

CN 1-Cyclohexene-1-carboxylic acid, 4-(acetylamino)-5-amino-3-(1-ethylpropoxy)-, ethyl ester, (3R,4R,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L50 ANSWER 5 OF 15 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 1999:90319 HCAPLUS
DN 130:153408
ED Entered STN: 12 Feb 1999
TI Aminocyclohexenecarboxylates as neuraminidase inhibitors
IN **Lew, Willard; Kim, Choung U.**; Liu, Hongtao; **Williams, Matthew A.**
PA **Gilead** Sciences, Inc., USA
SO U.S., 48 pp., Cont.-in-part of U.S. Ser. No. 395,245, abandoned.
CODEN: USXXAM
DT Patent
LA English
IC ICM A61K031-35

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     ICS  A61K031-66; A61K031-445
INCL 514459000
CC   24-5 (Alicyclic Compounds)
FAN.CNT 4
     PATENT NO.           KIND   DATE        APPLICATION NO.          DATE
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PI   US 5866601            A     19990202    US 1995-476946           19950606 <--
     CA 2188835            AA    19960906    CA 1996-2188835          19960226 <--
     WO 9626933            A1    19960906    WO 1996-US2882           19960226 <--
         W:  AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI,
             GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD,
             MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ,
             TM, TT
         RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE,
             IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR,
             NE, SN, TD, TG
     AU 9653571            A1    19960918    AU 1996-53571            19960226 <--
     AU 720933             B2    20000615
     EP 759917             A1    19970305    EP 1996-912404           19960226 <--
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         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE
     CN 1147813            A     19970416    CN 1996-190133           19960226 <--
     BR 9607098            A     19971104    BR 1996-7098             19960226 <--
     JP 11501908           T2    19990216    JP 1996-526442           19960226 <--
     JP 3300365            B2    20020708
     US 5952375            A     19990914    US 1996-606624           19960226 <--
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     RU 2181357            C2    20020420    RU 1997-116714           19960226 <--
     JP 2002161074         A2    20020604    JP 2001-255372           19960226 <--
     NZ 520724             A     20040326    NZ 1996-520724           19960226 <--
     AT 305453             E     20051015    AT 1999-117934           19960226 <--
     US 2005176758         A1    20050811    US 1996-653034           19960524 <--
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     US 6225341            B1    20010501    US 1999-288091           19990408 <--
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     US 2002058823         A1    20020516    US 2000-740504           20001219 <--
     CN 1347693            A     20020508    CN 2001-124714           20010727 <--
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PRAI US 1995-395245        B2    19950227  <--
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     US 1995-580567        A     19951229  <--
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     JP 1996-526442        A3    19960226  <--
     NZ 1996-509923        A1    19960226  <--
     US 1996-606624        A1    19960226  <--
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     AU 1997-41579         A3    19970822  <--
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     US 1999-242119        A3    19990428
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 US 5866601        ICM     A61K031-35
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                   INCL    514459000
 US 5866601        NCL     514/459.000; 514/102.000; 514/315.000; 514/365.000;
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WO 9626933	ECLA	C07D309/28 <--
US 5952375	NCL	514/459.000; 514/492.000
	ECLA	C07D309/28 <--
EP 976734	ECLA	C07D309/28 <--
US 2005176758	NCL	514/310.000
	ECLA	C07D309/28 <--
US 6225341	NCL	514/459.000; 549/424.000
	ECLA	C07D309/28 <--
US 2002058823	NCL	549/436.000
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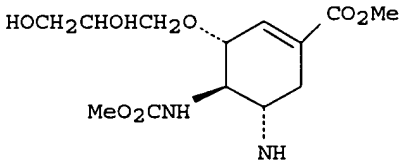
OS MARPAT 130:153408

GI



I

AB Novel aminocyclohexenecarboxylates, such as I, are described. The compds. generally comprise an acidic group, a basic group, a substituted amino or N-acyl and a group having an optionally hydroxylated alkane moiety. Pharmaceutical compns. comprising the inhibitors of the invention are also described. Methods of inhibiting neuraminidase in samples suspected of containing neuraminidase are also described. Antigenic materials, polymers, antibodies, conjugates of the compds. of the invention with labels, and assay methods for detecting neuraminidase activity are also described.

ST aminocyclohexenecarboxylate prepn neuraminidase inhibitor; antiviral agent aminocyclohexenecarboxylate

IT Antiviral agents

IT **182367-53-9** 220290-44-8
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(neuraminidase inhibitors)

IT **182367-49-3P** 182367-59-5P 182367-61-9P 182367-63-1P 182367-65-3P **220290-33-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation as neuraminidase inhibitors)

IT **182367-96-0P 220290-41-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

IT 75-36-5, Acetyl chloride 556-56-9, Allyl iodide 4530-20-5, BOC-glycine 7719-09-7, Thionyl chloride 26628-22-8, Sodium azide 143615-27-4 145013-05-4 157750-77-1 **182367-55-1 220290-42-6** 220290-43-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(reactant for preparation of aminocyclohexenecarboxylates as neuraminidase inhibitors)

IT 76985-85-8P 88587-13-7P 156472-82-1P 182367-16-4P 182367-18-6P 182367-19-7P 182367-20-0P 182367-21-1P 182367-22-2P 182367-25-5P 182367-26-6P 182367-27-7P 182367-28-8P 182367-30-2P 182367-31-3P 182367-32-4P 182367-38-0P 182367-77-7P 182367-80-2P 182367-82-4P 182367-86-8P 182367-88-0P 182368-17-8P 182511-78-0P 182511-79-1P 182511-87-1P 220290-32-4P 220290-34-6P 220290-35-7P 220290-36-8P 220290-37-9P 220290-38-0P 220290-39-1P 220290-40-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(reactant for preparation of aminocyclohexenecarboxylates as neuraminidase inhibitors)

RE.CNT 50 THERE ARE 50 CITED REFERENCES AVAILABLE FOR THIS RECORD

RE

(1) Anon; AU 2896 1991
(2) Anon; AU 4537 1991
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(5) Anon; EP 0534216 A1 1992 HCAPLUS
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(12) Anon; WO 9407885 1994 HCAPLUS
(13) Anon; WO 9407886 1994 HCAPLUS
(14) Anon; WO 9428956 1994
(15) Anon; WO 9429476 1994 HCAPLUS
(16) Anon; WO 9500503 1995 HCAPLUS
(17) Anon; GB 9510141 1995
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(23) Anon; WO 9532712 1995 HCAPLUS
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(50) Witiak; US 5206400 1993 HCAPLUS

IT **182367-53-9**

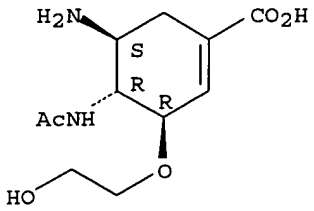
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(neuraminidase inhibitors)

RN 182367-53-9 HCAPLUS

CN 1-Cyclohexene-1-carboxylic acid, 4-(acetylamino)-5-amino-3-(2-hydroxyethoxy)-, (3R,4R,5S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L50 ANSWER 6 OF 15 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 1998:397793 HCAPLUS
DN 129:54135
ED Entered STN: 29 Jun 1998
TI Preparation of aminocyclohexenylcarboxylates and related compounds as neuraminidase inhibitors.
IN **Bischofberger, Norbert W.**; **Kim, Choung U.**; **Lew, Willard**; Liu, Hongtao; **Williams, Matthew A.**
PA **Gilead** Sciences, Inc., USA
SO U.S., 74 pp.
CODEN: USXXAM
DT Patent
LA English
IC ICM A61K031-21
INCL 514529000
CC 24-5 (Alicyclic Compounds)
Section cross-reference(s): 1
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PRAI US 1996-774345		19961227 <--		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
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US 5763483	NCL	514/529.000; 514/563.000; 548/190.000; 548/217.000; 548/250.000; 548/953.000; 548/961.000; 549/033.000; 549/331.000; 549/399.000; 549/434.000; 549/546.000; 558/431.000; 562/507.000
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OS MARPAT 129:54135
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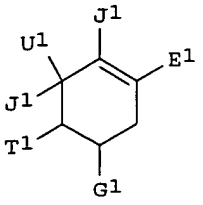

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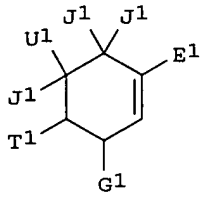

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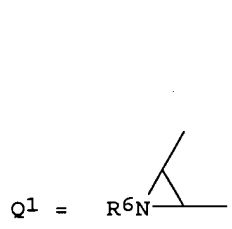

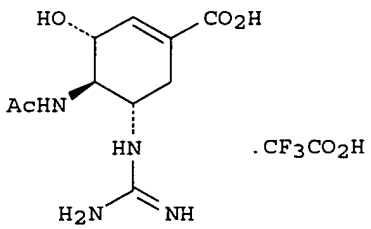

III

AB Title compds. [I, II; E1 = [(CR1)2]mW1; W1 = group comprising an acidic H, protected acidic group, etc.; G1 = N3, CN, OH, OR5, NO2, [(CR1)2]mW2; R5 = H, protecting group; W2 = group comprising a basic heteroatom, etc.; T1 = NR1W3, heterocyclyl; W3 = (substituted) alkyl, alkenyl, alkynyl, acyl, heterocyclyl, etc.; T1U1 or T1G1 = Q1; U1 = H, X1W6; X1 = bond, O, imino, S, SO, SO2, etc.; W6 = (substituted) alkyl, alkenyl, alkynyl, acyl, amino, aminocarbonyl, etc.; J1 = H, F, Cl; R1 = H, alkyl; R6 = H, protecting group, residue of carboxyl-containing compound; m = 0-2; with provisos], were prepared Thus, title compound (III) (preparation given) inhibited neuraminidase with IC50 <1.0 μM.

ST aminocyclohexenylcarboxylate prepn neuraminidase inhibitor; influenza infection treatment aminocyclohexenylcarboxylate prepn

IT Antiviral agents
(preparation of aminocyclohexenylcarboxylates and related compds. as neuraminidase inhibitors)

IT Influenza
(treatment of influenza infection; preparation of aminocyclohexenylcarboxylates and related compds. as neuraminidase inhibitors)

IT 9001-67-6P, Neuraminidase
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(inhibitors; preparation of aminocyclohexenylcarboxylates and related compds. as neuraminidase inhibitors)

IT 187226-65-9P 187226-68-2P 187226-74-0P 187226-79-5P 187226-93-3P
187226-95-5P 187226-97-7P **187226-99-9P** 187227-14-1P
187227-16-3P 187227-22-1P **196618-13-0P** 204255-06-1P
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208720-79-0P 208720-80-3P 208720-81-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of aminocyclohexenylcarboxylates and related compds. as neuraminidase inhibitors)

IT 187226-83-1P 187227-00-5P **187227-32-3P** **187227-39-0P**
187227-45-8P 195210-36-7P **195210-92-5P** 195210-94-7P
208589-53-1P **208720-12-1P** 208720-13-2P 208720-14-3P
208720-15-4P **208720-16-5P** **208720-17-6P** 208720-18-7P
208720-19-8P **208720-20-1P** 208720-21-2P 208720-22-3P
208720-23-4P 208720-24-5P **208720-25-6P** 208720-26-7P
208720-28-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aminocyclohexenylcarboxylates and related compds. as neuraminidase inhibitors)

IT 75-36-5, Acetyl chloride 75-85-4, tert-Amyl alcohol 77-95-2, Quinic acid 79-03-8, Propionyl chloride 107-03-9, 1-Propanethiol 108-93-0, Cyclohexanol, reactions 124-63-0, Methanesulfonyl chloride 138-59-0, Shikimic acid 431-47-0, Methyl trifluoroacetate 556-56-9, Allyl iodide 584-02-1, 3-Pentanol 4530-20-5 14898-79-4 60099-09-4, Benzyl formimidate hydrochloride 145013-05-4 **208720-84-7**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of aminocyclohexenylcarboxylates and related compds. as neuraminidase inhibitors)

IT 32384-42-2P 35949-53-2P 76985-84-7P 88165-26-8P 97373-88-1P
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187226-87-5P 187226-89-7P 187226-91-1P 187227-02-7P 187227-05-0P
187227-08-3P 187227-10-7P 187227-12-9P 187227-25-4P 208720-29-0P
208720-30-3P 208720-34-7P 208720-44-9P 208720-45-0P 208720-46-1P
208720-47-2P 208720-49-4P 208720-50-7P 208720-51-8P 208720-52-9P
208720-82-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of aminocyclohexenylcarboxylates and related compds. as neuraminidase inhibitors)

RE.CNT 85 THERE ARE 85 CITED REFERENCES AVAILABLE FOR THIS RECORD

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(2) Anon; AU 75338191 1991
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(5) Anon; AU PK4537 1991
(6) Anon; EP 0539204 A1 1992 HCAPLUS
(7) Anon; WO 9206691 1992 HCAPLUS
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(15) Anon; WO 9500503 1995 HCAPLUS
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(20) Anon; WO 9604265 1996 HCAPLUS
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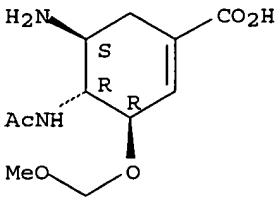
IT **187226-99-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of aminocyclohexenylcarboxylates and related compds. as neuraminidase inhibitors)

RN 187226-99-9 HCAPLUS

CN 1-Cyclohexene-1-carboxylic acid, 4-(acetylamino)-5-amino-3-(methoxymethoxy)-, (3R,4R,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L50 ANSWER 7 OF 15 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 1998:147297 HCAPLUS
DN 128:217186
ED Entered STN: 11 Mar 1998
TI Preparation of cyclohexene carboxylates as synthons for neuraminidase inhibitors
IN Kent, Kenneth M.; **Kim, Choung U.**; McGee, Lawrence R.; Munger, John D.; Prisbe, Ernest J.; Postich, Michael J.; Rohloff, John C.; St. John, Daphne E.; **Williams, Matthew A.**; Zhang, Lijun
PA **Gilead** Sciences, Inc., USA
SO PCT Int. Appl., 66 pp.
CODEN: PIXXD2
DT Patent
LA English
IC ICM C07C227-08
ICS C07C247-14; C07D317-46; C07D203-26; C07D303-40; C07F007-18; C07C227-16
CC 25-17 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)
FAN.CNT 4

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RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
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AU 9741579	A1	19980306	AU 1997-41579	19970822 <--
AU 738577	B2	20010920		
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CN 1113053	B	20030702		
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JP 3622983	B2	20050223		
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PT 920410	T	20020731	PT 1997-939508	19970822 <--
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US 6518438	B2	20030211		

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CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES	
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US 6057459	NCL	549/436.000	
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OS MARPAT 128:217186

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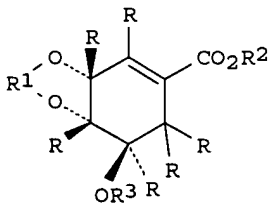

I

AB Title cyclohexene carboxylates I (R = H, alkyl; R1 = cyclic hydroxy protecting group; R2 = carbocyclic protecting group; R3 = hydroxy protecting group) were prepared as synthons for the synthesis of neuraminidase inhibitors. Thus, I (R = H; R1 = CEt2; R2 = Et; R3 = Ms) was prepared as intermediate for the preparation of neuraminidase inhibitors.

ST cyclohexene carboxylate prepn synthon neuraminidase inhibitor

IT Cycloalkenes
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of cyclohexene carboxylates as synthons for the synthesis of neuraminidase inhibitors)

IT 9001-67-6, Neuraminidase
RL: BUU (Biological use, unclassified); BIOL (Biological study); USES (Uses)
(preparation of cyclohexene carboxylates as synthons for the synthesis of neuraminidase inhibitors)

IT 77-95-2, (-)-Quinic acid
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of cyclohexene carboxylates as synthons for the synthesis of neuraminidase inhibitors)
IT **196618-13-0P** 204254-79-5P 204254-81-9P 204254-86-4P
204254-90-0P 204254-92-2P 204254-94-4P 204254-96-6P 204254-98-8P
204255-00-5P 204255-02-7P 204255-04-9P 204255-06-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of cyclohexene carboxylates as synthons for the synthesis of neuraminidase inhibitors)
IT 42411-62-1P 204254-84-2P 204254-88-6P **204255-09-4P**
204255-11-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of cyclohexene carboxylates as synthons for the synthesis of neuraminidase inhibitors)
RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
RE
(1) Chahoua, L; JOURNAL OF ORGANIC CHEMISTRY 1992, V57(21), P5798 HCAPLUS
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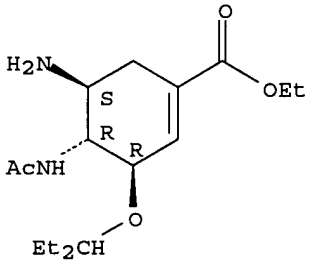
(4) Kim, C; JOURNAL OF THE AMERICAN CHEMICAL SOCIETY 1997, V119(4), P681 HCAPLUS
(5) Ulibarri, G; JOURNAL OF ORGANIC CHEMISTRY 1995, V60(9), P2753 HCAPLUS
IT **196618-13-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of cyclohexene carboxylates as synthons for the synthesis of neuraminidase inhibitors)
RN 196618-13-0 HCAPLUS
CN 1-Cyclohexene-1-carboxylic acid, 4-(acetylamino)-5-amino-3-(1-ethylpropoxy)-, ethyl ester, (3R,4R,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L50 ANSWER 8 OF 15 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 1997:597377 HCAPLUS
DN 127:272183
ED Entered STN: 18 Sep 1997
TI Penetration of GS4071, a novel influenza neuraminidase inhibitor, into rat bronchoalveolar lining fluid following oral administration of the prodrug **GS4104**
AU Eisenberg, Eugene J.; Bidgood, Alison; Coundy, Kenneth C.
CS **Gilead** Sciences Inc., Foster City, CA, 94404, USA
SO Antimicrobial Agents and Chemotherapy (**1997**), 41(9), 1949-1952
CODEN: AMACCQ; ISSN: 0066-4804
PB American Society for Microbiology
DT Journal
LA English
CC 1-2 (Pharmacology)
Section cross-reference(s): 63
AB GS4071 is a novel potent inhibitor of influenza neuraminidase (Ki < 1 nM) with low (<5%) oral bioavailability in animals. An Et ester prodrug of

GS4071, **GS4104**, has exhibited good oral bioavailability in rat, mouse, and dog models and in currently being developed for the treatment of influenza A and B virus infections. Since influenza virus replicates primarily in the surface epithelial cells of the respiratory tract, the ability of the prodrug to deliver GS4071 to the bronchoalveolar lining fluid (BALF) following an oral dose of **GS4104** should be an important indicator of its potential efficacy. In the present study, we determined the concentration-time profiles of GS4071 in the BALF and plasma of rats following oral administration of **GS4104**. The BALF was sampled by bronchoalveolar lavage with endogenous urea as a dilution marker. The concentration of GS4071 in BALF reached a peak at 2 h (1 h after the plasma peak) and declined at a slower rate than plasma levels, suggesting slow clearance of drug from the lung acini. The ratios of the area-under-the-curve (AUC) values of GS4071 in BALF to those in plasma were 1.05 for AUC from 0 to 6 h (AUC0-6) and 1.51 for AUC0-∞, indicating significant penetration of the parent drug into the lower respiratory tracts of rats following oral administration of the prodrug. No unchanged **GS4104** was detected in BALF.

ST bronchoalveolar penetration oral GS4071 prodrug

IT Lung
(alveolus; penetration of GS4071 into bronchoalveolar lining fluid following oral administration of prodrug **GS4104**)

IT Drug bioavailability
Lung
(penetration of GS4071 into bronchoalveolar lining fluid following oral administration of prodrug **GS4104**)

IT Drug delivery systems
(prodrugs; penetration of GS4071 into bronchoalveolar lining fluid following oral administration of prodrug **GS4104**)

IT **187227-45-8**, GS4071 **196618-13-0**
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(penetration of GS4071 into bronchoalveolar lining fluid following oral administration of prodrug **GS4104**)

RE.CNT 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD

RE

(1) Bend, J; Annu Rev Pharmacol Toxicol 1985, V25, P97 MEDLINE
(2) Bergogne-Berezin, E; Respiratory infections:diagnosis and management 1988, P608
(3) Brown, E; Drug Metab Rev 1974, V3, P33 HCAPLUS
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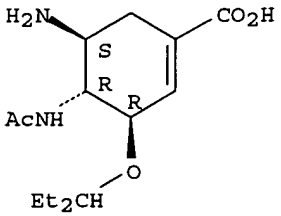
(13) Woods, J; Antimicrob Agents Chemother 1993, V37, P1473 HCAPLUS
(14) Young, K; Immunology of the lung and upper respiratory tract 1984, P157

IT **187227-45-8**, GS4071
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(penetration of GS4071 into bronchoalveolar lining fluid following oral administration of prodrug **GS4104**)

RN 187227-45-8 HCAPLUS

CN 1-Cyclohexene-1-carboxylic acid, 4-(acetylamino)-5-amino-3-(1-ethylpropoxy)-, (3R,4R,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L50 ANSWER 9 OF 15 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 1997:538788 HCAPLUS
DN 127:229249
ED Entered STN: 23 Aug 1997
TI Synthesis and activity of C2-substituted analogs of influenza neuraminidase inhibitor GS 4071
AU Zhang, Lijun; **Williams, Matthew A.**; Mendel, Dirk B.; Escarpe, Paul A.; **Kim, Choung U.**
CS **Gilead** Sciences Inc., Foster City, CA, 94404, USA
SO Bioorganic & Medicinal Chemistry Letters (**1997**), 7(14), 1847-1850
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier
DT Journal
LA English
CC 1-5 (Pharmacology)
AB The influence of C2-substitution of GS 4071 on the influenza neuraminidase inhibitory activity was investigated. The introduction of lipophilic substituents (chloro, Me, and methylthio) at the C2 position resulted in a significant decrease of the activity. This result indicates that at the enzyme active site there is limited hydrophobic pocket a group at the C2 position of GS 4071.
ST influenza antiviral neuraminidase inhibitor GS4071 analog
IT Structure-activity relationship
(anti-influenza; synthesis and activity of C2-substituted analogs of influenza neuraminidase inhibitor GS 4071)
IT Antiviral agents
Influenza
(synthesis and activity of C2-substituted analogs of influenza neuraminidase inhibitor GS 4071)
IT 9001-67-6, Neuraminidase
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(inhibitor; synthesis and activity of C2-substituted analogs of influenza neuraminidase inhibitor GS 4071)
IT **187227-45-8P**, GS 4071 **195244-36-1P 195244-37-2P 195244-51-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis and activity of C2-substituted analogs of influenza neuraminidase inhibitor GS 4071)
IT 138-59-0
RL: RCT (Reactant); RACT (Reactant or reagent)
(synthesis and activity of C2-substituted analogs of influenza neuraminidase inhibitor GS 4071)
IT 153919-36-9P 195244-38-3P 195244-39-4P 195244-40-7P 195244-41-8P 195244-42-9P 195244-43-0P 195244-44-1P 195244-45-2P 195244-46-3P 195244-47-4P 195244-48-5P 195244-49-6P 195244-50-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis and activity of C2-substituted analogs of influenza neuraminidase inhibitor GS 4071)
RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD

RE
(1) Harding, K; J Org Chem 1978, V43, P3974 HCAPLUS
(2) Kim, C; Abstract H44, P171, Abstracts of the 36th Interscience Conference on Antimicrobial Agents and Chemotherapy 1996
(3) Kim, C; J Am Chem Soc 1997, V119, P681 HCAPLUS
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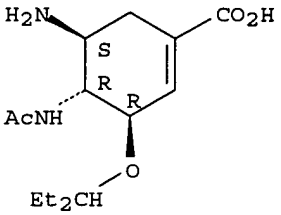
(5) Potier, M; Anal Biochem 1979, V94, P287 HCAPLUS
(6) Rich, R; J Org Chem 1994, V59, P693 HCAPLUS
IT **187227-45-8P**, GS 4071
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis and activity of C2-substituted analogs of influenza neuraminidase inhibitor GS 4071)
RN 187227-45-8 HCAPLUS
CN 1-Cyclohexene-1-carboxylic acid, 4-(acetylamino)-5-amino-3-(1-ethylpropoxy)-, (3R,4R,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L50 ANSWER 10 OF 15 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 1997:538787 HCAPLUS
DN 127:229248
ED Entered STN: 23 Aug 1997
TI C3-Thia and C3-carba isosteres of a carbocyclic influenza neuraminidase inhibitor, (3R,4R,5R)-4-acetamido-5-amino-3-propoxy-1-cyclohexene-1-carboxylic acid
AU **Lew, Willard; Williams, Matthew A.**; Mendel, Dirk B.; Escarpe, Paul A.; **Kim, Choung U.**
CS **Gilead** Sciences Inc., Foster City, CA, 94404, USA
SO Bioorganic & Medicinal Chemistry Letters (**1997**), 7(14), 1843-1846
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier
DT Journal
LA English
CC 1-5 (Pharmacology)
AB The importance of the oxygen atom in the C3 ether side chain of a carbocyclic influenza neuraminidase inhibitor 3 was investigated by replacement of the C3 ether oxygen atom of 3 with either a sulfur atom (compound 4) or a carbon atom (compound 5). The regio- and stereospecific syntheses of both isoteres are described starting from (-)-quinic acid.
ST antiinfluenza neuraminidase inhibitor acetamido aminopropoxycyclohexene carboxylate
IT Antiviral agents
Influenza
(C3-thia and C3-carba isosteres of a carbocyclic antiinfluenza neuraminidase inhibitor)
IT Structure-activity relationship
(neuraminidase-inhibiting; C3-thia and C3-carba isosteres of a carbocyclic antiinfluenza neuraminidase inhibitor)
IT **187227-32-3** 195210-36-7 195210-38-9
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(C3-thia and C3-carba isosteres of a carbocyclic antiinfluenza neuraminidase inhibitor)
IT 9001-67-6, Neuraminidase
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(C3-thia and C3-carba isosteres of a carbocyclic antiinfluenza neuraminidase inhibitor)
RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
RE
(1) Chong, A; Biochem Int 1991, V24, P165 HCAPLUS
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(3) Kim, C; Abstr H44, P171, Abstracts of the 36th Interscience Conference on Antimicrobial Agents and Chemotherapy 1996
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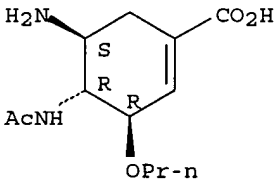
IT **187227-32-3**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(C3-thia and C3-carba isosteres of a carbocyclic antiinfluenza neuraminidase inhibitor)
RN 187227-32-3 HCAPLUS
CN 1-Cyclohexene-1-carboxylic acid, 4-(acetylamino)-5-amino-3-propoxy-, (3R,4R,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L50 ANSWER 11 OF 15 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 1997:538786 HCAPLUS
DN 127:229247
ED Entered STN: 23 Aug 1997
TI Structure-activity relationships of carbocyclic influenza neuraminidase inhibitors
AU **Williams, Matthew A.**; **Lew, Willard**; Mendel, Dirk B.; Tai, Chun Y.; Escarpe, Paul A.; Laver, W. Graeme; Stevens, Raymond C.; **Kim, Choung U.**
CS **Gilead** Sciences Inc., Foster City, CA, 94404, USA
SO Bioorganic & Medicinal Chemistry Letters (**1997**), 7(14), 1837-1842
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier
DT Journal
LA English
CC 1-5 (Pharmacology)
AB The structure-activity relationship (SAR) for a new class of potent inhibitors (1) of influenza neuraminidase are described. Systematic modifications of substituents at the C-3, C-4, and C-5 positions of the carbocyclic ring were performed to establish fundamental SAR to assist in the design of potent inhibitors with activity against both of influenza A and B viruses.
ST antiviral influenza neuraminidase inhibitor
IT Antiviral agents
Influenza

Structure-activity relationship
(structure-activity relationships of carbocyclic influenza neuraminidase inhibitors)

IT **187226-99-9 187227-32-3 187227-45-8**
195210-91-4 195210-92-5 195210-93-6 195210-94-7
195210-95-8
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(structure-activity relationships of carbocyclic influenza neuraminidase inhibitors)

IT 9001-67-6, Neuraminidase
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(structure-activity relationships of carbocyclic influenza neuraminidase inhibitors)

RE.CNT 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD

RE

(1) Chandler, M; J Chem Soc Perkin Trans 1 1995, P1189 HCAPLUS
(2) Hayden, F; Antiviral Res, (Abst 140) 1995, V26/3A, P300
(3) Hayden, F; J Amer Med Assoc 1996, V275, P295 HCAPLUS
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(13) Smith, P; Eur J Med Chem 1996, V31, P143 HCAPLUS
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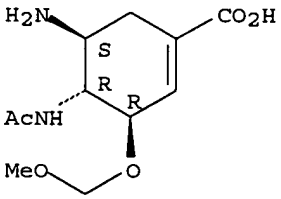
(16) Taylor, N; J Med Chem 1994, V37, P616 HCAPLUS
(17) Von Itzstein, M; Nature (London) 1993, V363, P418 HCAPLUS
(18) Wood, J; Antimicrob Agents Chemother 1993, V37, P1473

IT **187226-99-9**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(structure-activity relationships of carbocyclic influenza neuraminidase inhibitors)

RN 187226-99-9 HCAPLUS

CN 1-Cyclohexene-1-carboxylic acid, 4-(acetylamino)-5-amino-3-(methoxymethoxy)-, (3R,4R,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L50 ANSWER 12 OF 15 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 1997:489942 HCAPLUS

ED Entered STN: 04 Aug 1997

TI A new carbocyclic neuraminidase inhibitor related to GS4071: (3R,4R,5S)-4-acetamido-5-amino-3-(1-(S)-(2-phenethyl)propoxy)-1-cyclohexene-1-carboxylic acid.

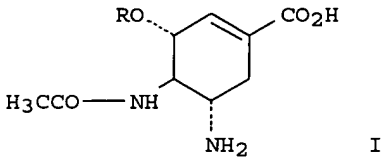
AU **Lew, Willard; Williams, Matthew A.**; Mendel, Dirk B.; Escarpe, Paul; Stevens, Raymond C.; Laver, W. Graeme; **Kim, Choung U.**
CS **Gilead** Sciences Inc., Foster City, CA, 94404, USA
SO Book of Abstracts, 214th ACS National Meeting, Las Vegas, NV, September 7-11 (1997), MEDI-185 Publisher: American Chemical Society, Washington, D. C.
CODEN: 64RNAO
DT Conference; Meeting Abstract
LA English
AB A new carbocylic neuraminidase inhibitor 1 related to GS4071 2 is described. The Et ester of 2 (**GS4104** 3) is currently being evaluated in a phase I clin. study. Compound 1 exhibits inhibitory activity against both influenza A and B comparable to that of 2. In addition, the Et ester prodrug of 1 demonstrates good oral efficacy in a mouse influenza model. The stereoselective synthesis of 1 is described. Further structural activity relationship of compds. related to 1 will be discussed.

L50 ANSWER 13 OF 15 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 1997:489894 HCAPLUS
ED Entered STN: 04 Aug 1997
TI Efficacy of **GS 4104**: A potent influenza neuraminidase inhibitor
AU **Kim, Choung U.**
CS **Gilead** Sciences Inc., Foster City, CA, 94404, USA
SO Book of Abstracts, 214th ACS National Meeting, Las Vegas, NV, September 7-11 (1997), MEDI-137 Publisher: American Chemical Society, Washington, D. C.
CODEN: 64RNAO
DT Conference; Meeting Abstract
LA English
AB GS 4071 is a potent carbocyclic transition-state analog inhibitor of the influenza neuraminidase. Oral administration of **GS 4104** , an Et ester prodrug of GS 4071, results in high and sustained plasma levels of GS 4071 in animals. Consistent with its potent neuraminidase inhibitory activity and good bioavailability, oral **GS 4104** is active in mouse and ferret models of influenza infection. Oral administration of 10 mg/kg/day of **GS 4104** for 5 days beginning 4 h prior to infection resulted in a 100-fold reduction in lung homogenate viral titers and enhanced survival in mice infected with influenza A and B viruses. In ferrets, a 25 mg/kg dose of **GS 4104** given twice daily beginning 2 h after infection reduced peak viral titers in nasal washings and eliminated constitutional responses to influenza infection including fever, increased nasal signs, and decreased animal activity. Some structure activity relationships of GS 4071 related compds. will also be discussed.

L50 ANSWER 14 OF 15 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 1997:21109 HCAPLUS
DN 126:171813
ED Entered STN: 15 Jan 1997
TI Influenza Neuraminidase Inhibitors Possessing a Novel Hydrophobic Interaction in the Enzyme Active Site: Design, Synthesis, and Structural Analysis of Carbocyclic Sialic Acid Analogs with Potent Anti-Influenza Activity
AU **Kim, Choung U.**; **Lew, Willard**; **Williams, Matthew A.**; Zhang, Lijun; Liu, Hongtao; Swaminathan, S.; **Bischofberger, Norbert**; Chen, Ming S.; Tai, Chun Y.; Mendel, Dirk B.; Laver, W. Graeme; Stevens, Raymond C.
CS **Gilead** Sciences Inc., Foster City, CA, 94404, USA
SO Journal of the American Chemical Society (1997), 119(4), 681-690
CODEN: JACSAT; ISSN: 0002-7863
PB American Chemical Society
DT Journal
LA English

CC 33-8 (Carbohydrates)
Section cross-reference(s): 1, 7

GI



I

AB The design, synthesis, and in vitro evaluation of the novel carbocycles as transition-state-based inhibitors of influenza neuraminidase (NA) are described. The double bond position in the carbocyclic analogs plays an important role in NA inhibition as demonstrated by the antiviral activity of 8 (IC50 = 6.3 μM) vs 9 (IC50 > 200 μM). Structure-activity studies of a series of carbocyclic analogs, e.g. I (R = H, Me, Et, Pr, Bu), identified the 3-pentyloxy moiety as an apparent optimal group at the C3 position with an IC50 value of 1 nM for NA inhibition. The X-ray crystallog. structure of 6h bound to NA revealed the presence of a large hydrophobic pocket in the region corresponding to the glycerol subsite of sialic acid. The high antiviral potency observed for 6h appears to be attributed to a highly favorable hydrophobic interaction in this pocket. The practical preparation of I starting from (-)-quinic acid is also described.

ST structure activity neuraminidase inhibitor sialic acid; influenza neuraminidase inhibitor carbocyclic sialic acid; carbocyclic sialic acid analog prepn virucide

IT Antiviral agents
(carbocyclic sialic acid analogs; preparation of carbocyclic sialic acid analogs with potent influenza activity)

IT Sialic acids
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(carbocyclic, analogs; preparation of carbocyclic sialic acid analogs with potent influenza activity)

IT Influenza
(inhibitors; preparation of carbocyclic sialic acid analogs with potent influenza activity)

IT Structure-activity relationship
(preparation of carbocyclic sialic acid analogs with potent influenza activity)

IT 9001-67-6, Neuraminidase
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(Influenza; preparation of carbocyclic sialic acid analogs with potent influenza activity)

IT 130525-62-1 139110-80-8
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(preparation of carbocyclic sialic acid analogs with potent influenza activity)

IT 187226-84-2P 187227-00-5P **187227-28-7P 187227-30-1P**
187227-32-3P 187227-34-5P 187227-36-7P
187227-39-0P 187227-42-5P 187227-45-8P
187227-47-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of carbocyclic sialic acid analogs with potent influenza activity)

IT 97373-88-1 109430-30-0
RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of carbocyclic sialic acid analogs with potent influenza activity)

IT 76985-84-7P 113473-12-4P 187226-65-9P 187226-67-1P 187226-68-2P
187226-70-6P 187226-72-8P 187226-74-0P 187226-77-3P 187226-79-5P
187226-81-9P 187226-87-5P 187226-89-7P 187226-91-1P 187226-93-3P
187226-95-5P 187226-97-7P **187226-99-9P** 187227-02-7P
187227-05-0P 187227-08-3P 187227-10-7P 187227-12-9P 187227-14-1P
187227-16-3P 187227-19-6P 187227-22-1P 187227-25-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of carbocyclic sialic acid analogs with potent influenza activity)

RE.CNT 53 THERE ARE 53 CITED REFERENCES AVAILABLE FOR THIS RECORD

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(2) Bartlett, P; Stud Org Chem 1985, V20, P439 HCAPLUS
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(44) Taylor, N; J Med Chem 1994, V37, P616 HCAPLUS
(45) Tedrzejas, M; Biochemistry 1995, V34, P3144
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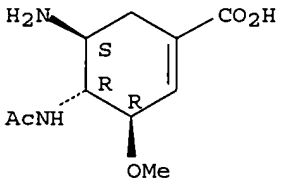
IT **187227-28-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of carbocyclic sialic acid analogs with potent influenza activity)

RN 187227-28-7 HCAPLUS
CN 1-Cyclohexene-1-carboxylic acid, 4-(acetylamino)-5-amino-3-methoxy-, monohydrochloride, (3R,4R,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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L50 ANSWER 15 OF 15 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 1996:637103 HCAPLUS
DN 125:300503
ED Entered STN: 30 Oct 1996
TI Preparation of selective inhibitors of viral or bacterial neuraminidases
IN **Bischofberger, Norbert W.**; **Kim, Choung U.**; **Lew, Willard**; Liu, Hongtao; **Williams, Matthew A.**
PA **Gilead** Sciences, Inc., USA
SO PCT Int. Appl., 345 pp.
CODEN: PIXXD2
DT Patent
LA English
IC ICM C07D309-28
ICS A61K031-55
CC 24-5 (Alicyclic Compounds)
Section cross-reference(s): 1, 27
FAN.CNT 4

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9626933	A1	19960906	WO 1996-US2882	19960226 <--
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TT				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5866601	A	19990202	US 1995-476946	19950606 <--
AU 9653571	A1	19960918	AU 1996-53571	19960226 <--
AU 720933	B2	20000615		
EP 759917	A1	19970305	EP 1996-912404	19960226 <--
EP 759917	B1	20000412		
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JP 11501908	T2	19990216	JP 1996-526442	19960226 <--
JP 3300365	B2	20020708		

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	NO 318455	B1	20050321			
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	AU 772214	B2	20040422	AU 2001-97150	20011207	<--
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	US 1995-580567	A	19951229	<--		
	US 1996-12299P	P	19960226	<--		
	US 1996-606624	A	19960226	<--		
	WO 1996-US2882	W	19960226	<--		
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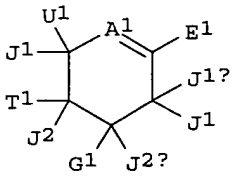
	AU 1997-41579	A3	19970822	<--		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES	
WO 9626933	ICM	C07D309-28	
	ICS	A61K031-55	
WO 9626933	ECLA	C07D309/28	<--
US 5866601	NCL	514/459.000; 514/102.000; 514/315.000; 514/365.000; 514/381.000; 514/396.000; 514/401.000	
	ECLA	C07D309/28	<--

OS MARPAT 125:300503

GI



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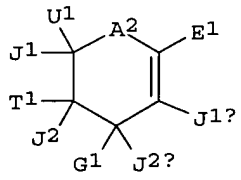

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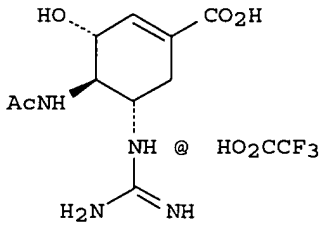

III

AB The title compds. [I, II; A1 = (un)substituted CH, N; A2 = (un)substituted CH2, (un)substituted NH, N(O), S, SO, SO2, O; E1 = terminal-(un)substituted alkyl; G1 = N3, CN, OH, NO2, alkoxy, etc.; T1 = (un)substituted NH2, heterocyclyl; J1, J1a = H, alkyl, halogen, CN, NO2, N3, etc.; U1 = H, (un)substituted SO3H, etc.; J2, J2a = H, alkyl] (e.g., III; IC50 <1.0 μM), useful as selective inhibitors of viral or bacterial neuraminidases, are prepared

ST viral bacterial neuraminidase inhibitor prepn; antiviral agent prepn; antibiotic prepn bacterial neuraminidase inhibitor

IT Antibiotics
(selective inhibitors of bacterial neuraminidases)

IT Virucides and Virustats
(selective inhibitors of viral neuraminidases)

IT 182367-43-7P 182367-51-7P **182367-52-8P** **182367-53-9P** 182367-59-5P 182367-74-4P 182511-81-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological

study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of selective inhibitors of viral or bacterial neuraminidases)

IT 9001-67-6, Neuraminidase
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(preparation of selective inhibitors of viral or bacterial neuraminidases)

IT 67-64-1, 2-Propanone, reactions 75-85-4 76-83-5 77-76-9 100-66-3, reactions 104-15-4, reactions 107-03-9, 1-Propanethiol 108-24-7 108-93-0, Cyclohexanol, reactions 108-94-1, Cyclohexanone, reactions 431-47-0 556-56-9 883-40-9 1892-57-5 3282-30-2 4530-20-5 7487-94-7, Mercury chloride, reactions 24424-99-5 36413-60-2 40348-66-1 60099-09-4 103057-51-8 145013-05-4 182511-90-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of selective inhibitors of viral or bacterial neuraminidases)

IT 4620-57-9P 76985-85-8P 88587-13-7P 113409-82-8P 156472-82-1P
157750-77-1P 182367-16-4P 182367-18-6P 182367-19-7P 182367-20-0P
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182367-31-3P 182367-32-4P 182367-34-6P 182367-36-8P 182367-38-0P
182367-40-4P 182367-45-9P **182367-47-1P** **182367-49-3P**
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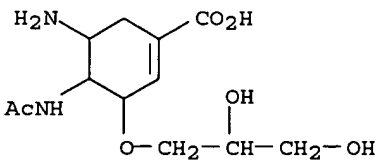
182368-64-5P 182511-78-0P 182511-79-1P **182511-84-8P**
182511-87-1P 182511-88-2P **182511-89-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of selective inhibitors of viral or bacterial neuraminidases)

IT **182367-52-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of selective inhibitors of viral or bacterial neuraminidases)

RN 182367-52-8 HCAPLUS
CN 1-Cyclohexene-1-carboxylic acid, 4-(acetylamino)-5-amino-3-(2,3-dihydroxypropoxy)- (9CI) (CA INDEX NAME)



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L51 ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 1999:405125 HCAPLUS
DN 131:41516
ED Entered STN: 01 Jul 1999
TI Screening assays for the detection and diagnosis of influenza virus by detection of viral neuraminidase
IN Heefner, Donald L.; Zepp, Charles M.; Rubin, Paul D.
PA Sepracor Inc., USA
SO PCT Int. Appl., 65 pp.
CODEN: PIXXD2
DT Patent
LA English
IC ICM C12Q001-70
ICS G01N033-53
CC 7-1 (Enzymes)
Section cross-reference(s): 1, 9, 10, 14
FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9931280	A1	19990624	WO 1998-US26945	19981218 <--
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2314431	AA	19990624	CA 1998-2314431	19981218 <--
AU 9919278	A1	19990705	AU 1999-19278	19981218 <--
EP 1038037	A1	20000927	EP 1998-964080	19981218 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002508193	T2	20020319	JP 2000-539177	19981218 <--
PRAI US 1997-68035P	P	19971218 <--		
WO 1998-US26945	W	19981218		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
WO 9931280	ICM	C12Q001-70
	ICS	G01N033-53
WO 9931280	ECLA	C07B061/00L; C07D493/10+311B+307B; C07H015/26; C07H019/16E; C12Q001/04; C12Q001/34; C12Q001/68A8; G01N033/569K; G01N033/573; G01N033/58D; G01N033/68; H04R025/00T <--

AB The present invention encompasses rapid, specific assay systems for detecting and diagnosing influenza virus infections by assessing for the presence of influenza virus neuraminidase. The present invention also encompasses a rapid, specific, high through put assay system for identifying novel agents that modulate influenza virus neuraminidase activity. The present invention further encompasses a rapid, specific, high through put assay system for identifying novel agents that interact with influenza virus neuraminidase.
ST influenza virus neuraminidase assay diagnosis
IT Antiviral agents
Chemiluminescence spectroscopy
Chemiluminescent substances
Influenza
Influenza virus
Luminescence, chemiluminescence
Polarized fluorescence
Test kits
(detection and diagnosis of influenza virus by detection of viral neuraminidase)
IT Allophycocyanins
DNA

Phycocyanins
Phycoerythrins
Polymers, biological studies
Proteins, general, biological studies
RL: ARU (Analytical role, unclassified); BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); ANST (Analytical study); BIOL (Biological study); PROC (Process); USES (Uses)
(detection and diagnosis of influenza virus by detection of viral neuraminidase)

IT Diagnosis
(influenza; detection and diagnosis of influenza virus by detection of viral neuraminidase)

IT Drugs
(neuraminidase specific inhibitor; detection and diagnosis of influenza virus by detection of viral neuraminidase)

IT Carbohydrates, biological studies
Glycoproteins, specific or class
Inorganic compounds
Ligands
Peptides, biological studies
Polysaccharides, biological studies
Proteins, specific or class
RL: ARU (Analytical role, unclassified); BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); ANST (Analytical study); BIOL (Biological study); PROC (Process); USES (Uses)
(neuraminidase specific inhibitor; detection and diagnosis of influenza virus by detection of viral neuraminidase)

IT Fluorometry
(polarization; detection and diagnosis of influenza virus by detection of viral neuraminidase)

IT 121445-46-3D, conjugate **196618-13-0, GS 4104**
227623-72-5, GR 217029
RL: ARG (Analytical reagent use); BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); ANST (Analytical study); BIOL (Biological study); PROC (Process); USES (Uses)
(detection and diagnosis of influenza virus by detection of viral neuraminidase)

IT 131-48-6D, N-Acetylneuraminic acid, conjugate 9001-67-6, Neuraminidase 9055-11-2, Endonuclease 9075-08-5, Restriction enzyme
RL: ARU (Analytical role, unclassified); BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); ANST (Analytical study); BIOL (Biological study); PROC (Process); USES (Uses)
(detection and diagnosis of influenza virus by detection of viral neuraminidase)

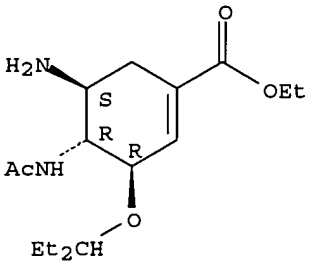
IT 81-88-9 91-64-5, Coumarin 302-04-5, Isothiocyanate, biological studies 643-79-8, o-Phthalaldehyde 2321-07-5, Fluorescein 38183-12-9, Fluorescamine 165599-63-3
RL: ARU (Analytical role, unclassified); BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); ANST (Analytical study); BIOL (Biological study); PROC (Process); USES (Uses)
(detection and diagnosis of influenza virus by detection of viral neuraminidase)

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
RE
(1) Lambre, C; Vaccine 1989, V7, P104 HCAPLUS
(2) Liav; US 5252458 A 1993 HCAPLUS
(3) Scientific Management Pty Ltd; WO 9732214 A1 1997 HCAPLUS
(4) Turner; US 5663055 A 1997 HCAPLUS
(5) Yolken, R; The Journal of Infectious Diseases 1980, V143(4), P516

IT **196618-13-0, GS 4104**
RL: ARG (Analytical reagent use); BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); ANST (Analytical study); BIOL

(Biological study); PROC (Process); USES (Uses)
(detection and diagnosis of influenza virus by detection of viral neuraminidase)
RN 196618-13-0 HCAPLUS
CN 1-Cyclohexene-1-carboxylic acid, 4-(acetylamino)-5-amino-3-(1-ethylpropoxy)-, ethyl ester, (3R,4R,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L51 ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 1997:703177 HCAPLUS
DN 127:331672
ED Entered STN: 08 Nov 1997
TI Influenza neuraminidase inhibitors possessing a novel hydrophobic interaction in the enzyme active site: design, synthesis, and structural analysis of carbocyclic sialic acid analogs with potent anti-influenza activity
AU Rotella, David P.
CS Bristol-Myers Squibb, USA
SO Chemtracts (1997), 10(11), 836-840
CODEN: CHEMFW; ISSN: 1431-9268
PB Springer
DT Journal
LA English
CC 33-8 (Carbohydrates)
Section cross-reference(s): 1, 7
AB Two novel carbocyclic analogs of sialic acid are prepared for study as potential inhibitors of neuraminidase, a critical enzyme in influenza virus replication. The syntheses begin with either (-)-shikimic acid or (-)-quinic acid, and involve sequential formation and opening of aziridine rings to create the key diamino moiety. Ether analogs of the target compound were found to be potent virucides, and one ether (**GS4104**) was put into development for oral treatment and prophylaxis of influenza infection.
ST influenza neuraminidase inhibitor carbocyclic sialic acid; carbocyclic sialic acid analog prepn virucide; structure activity neuraminidase inhibitor sialic acid
IT Sialic acids
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(carbocyclic; design, synthesis, and structural anal. of carbocyclic sialic acid analogs with potent anti-influenza activity)
IT Antiviral agents
Influenza
Structure-activity relationship
(design, synthesis, and structural anal. of carbocyclic sialic acid analogs with potent anti-influenza activity)
IT **187226-83-1P 187227-30-1P 187227-36-7P**
187227-39-0P 187227-42-5P 187227-45-8P
187227-47-0P 197854-93-6P 197854-97-0P
197855-00-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological

study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(design, synthesis, and structural anal. of carbocyclic sialic acid analogs with potent anti-influenza activity)

IT 9001-67-6, Neuraminidase
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(design, synthesis, and structural anal. of carbocyclic sialic acid analogs with potent anti-influenza activity)

IT 197854-73-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(design, synthesis, and structural anal. of carbocyclic sialic acid analogs with potent anti-influenza activity)

IT 109430-30-0P 149560-23-6P 187227-08-3P 187227-14-1P 187227-22-1P 197854-79-8P 197854-81-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(design, synthesis, and structural anal. of carbocyclic sialic acid analogs with potent anti-influenza activity)

IT 187227-00-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(design, synthesis, and structural anal. of carbocyclic sialic acid analogs with potent anti-influenza activity)

RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD

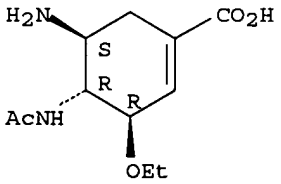
RE

(1) Chong, A; Biochem Int 1991, V24, P165 HCAPLUS
(2) Liu, C; J Virol 1995, V69, P1099 HCAPLUS
(3) Meinal, P; Virology 1975, V58, P457
(4) Smith, P; Eur J Med Chem 1996, V31, P143 HCAPLUS
(5) Taylor, N; J Med Chem 1994, V37, P616 HCAPLUS
(6) Tedrzejas, M; Biochemistry 1995, V34, P3144
(7) Von Itzstein, M; Nature 1993, V363, P418 HCAPLUS
(8) Williams, M; Bioorg Med Chem Lett 1995, V5, P2251 HCAPLUS

IT **187227-30-1P 187227-36-7P 187227-39-0P 187227-42-5P 187227-45-8P 187227-47-0P 197854-93-6P 197855-00-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(design, synthesis, and structural anal. of carbocyclic sialic acid analogs with potent anti-influenza activity)

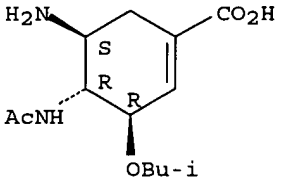
RN 187227-30-1 HCAPLUS
CN 1-Cyclohexene-1-carboxylic acid, 4-(acetylamino)-5-amino-3-ethoxy-, (3R,4R,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



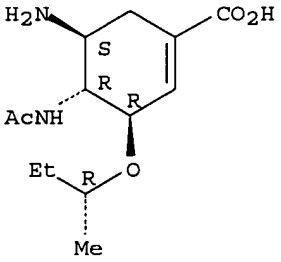
RN 187227-36-7 HCAPLUS
CN 1-Cyclohexene-1-carboxylic acid, 4-(acetylamino)-5-amino-3-(2-methylpropoxy)-, (3R,4R,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



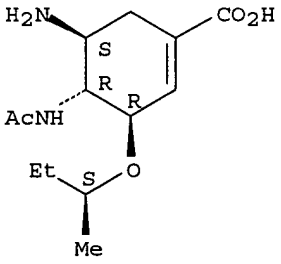
RN 187227-39-0 HCAPLUS
CN 1-Cyclohexene-1-carboxylic acid, 4-(acetylamino)-5-amino-3-[(1R)-1-methylpropoxy]-, (3R,4R,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



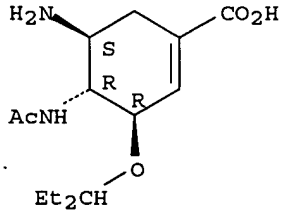
RN 187227-42-5 HCAPLUS
CN 1-Cyclohexene-1-carboxylic acid, 4-(acetylamino)-5-amino-3-[(1S)-1-methylpropoxy]-, (3R,4R,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



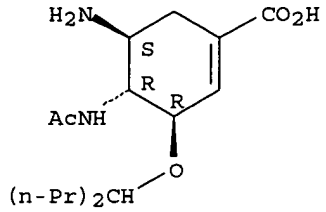
RN 187227-45-8 HCAPLUS
CN 1-Cyclohexene-1-carboxylic acid, 4-(acetylamino)-5-amino-3-(1-ethylpropoxy)-, (3R,4R,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



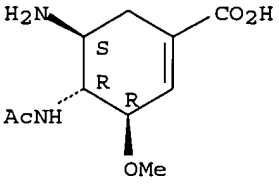
RN 187227-47-0 HCAPLUS
CN 1-Cyclohexene-1-carboxylic acid, 4-(acetylamino)-5-amino-3-(1-propylbutoxy)-, [3R-(3α,4β,5α)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



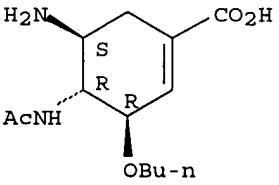
RN 197854-93-6 HCAPLUS
CN 1-Cyclohexene-1-carboxylic acid, 4-(acetylamino)-5-amino-3-methoxy-, (3R,4R,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 197855-00-8 HCAPLUS
CN 1-Cyclohexene-1-carboxylic acid, 4-(acetylamino)-5-amino-3-butoxy-, (3R,4R,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



=> b uspatall

FILE 'USPATFULL' ENTERED AT 15:56:30 ON 15 DEC 2005
CA INDEXING COPYRIGHT (C) 2005 AMERICAN CHEMICAL SOCIETY (ACS)

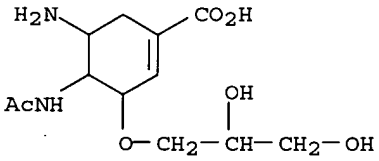
FILE 'USPAT2' ENTERED AT 15:56:30 ON 15 DEC 2005
CA INDEXING COPYRIGHT (C) 2005 AMERICAN CHEMICAL SOCIETY (ACS)

=> d bib abs fhitstr hitrn l54 tot

L54 ANSWER 1 OF 11 USPATFULL on STN
AN 2005:203333 USPATFULL
TI NOVEL COMPOUNDS AND METHODS FOR SYNTHESIS AND THERAPY
IN BISCHOFBERGER, NORBERT W., SAN CARLOS, CA, UNITED STATES
KIM, CHOUNG U., SAN CARLOS, CA, UNITED STATES
LEW, WILLARD, SAN MATEO, CA, UNITED STATES
LIU, HONGTAO, FOSTER CITY, CA, UNITED STATES
WILLIAMS, MATTHEW A., FOSTER CITY, CA, UNITED STATES
PI US 2005176758 A1 20050811
AI US 1996-653034 A1 19960524 (8) <--
RLI Continuation of Ser. No. US 1996-606624, filed on 26 Feb 1996, GRANTED, Pat. No. US 5952375 Continuation of Ser. No. US 1995-580567, filed on 29

Dec 1995, ABANDONED Continuation of Ser. No. US 1995-476946, filed on 6 Jun 1995, GRANTED, Pat. No. US 5866601 Continuation of Ser. No. US 1995-395245, filed on 27 Feb 1995, ABANDONED
DT Utility
FS APPLICATION
LREP GILEAD SCIENCES INC, 333 LAKESIDE DR, FOSTER CITY, CA, 94404, US
CLMN Number of Claims: 4
ECL Exemplary Claim: 1-21
DRWN 8 Drawing Page(s)
LN.CNT 11206
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Novel compounds are described. The compounds generally comprise an acidic group, a basic group, a substituted amino or N-acyl and a group having an optionally hydroxylated alkane moiety. Pharmaceutical compositions comprising the inhibitors of the invention are also described. Methods of inhibiting neuraminidase in samples suspected of containing neuraminidase are also described. Antigenic materials, polymers, antibodies, conjugates of the compounds of the invention with labels, and assay methods for detecting neuraminidase activity are also described.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **182367-52-8P**
(preparation of selective inhibitors of viral or bacterial neuraminidases)
RN 182367-52-8 USPATFULL
CN 1-Cyclohexene-1-carboxylic acid, 4-(acetylamino)-5-amino-3-(2,3-dihydroxypropoxy)- (9CI) (CA INDEX NAME)



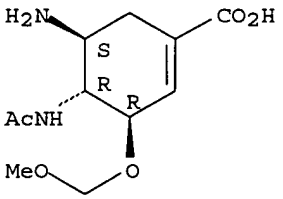
IT **182367-52-8P 182367-53-9P**
(preparation of selective inhibitors of viral or bacterial neuraminidases)
IT **182367-47-1P 182367-49-3P 182367-55-1P**
182367-71-1P 182367-95-9P 182367-96-0P
182368-29-2P 182368-43-0P 182368-44-1P
182368-48-5P 182368-50-9P 182368-51-0P
182368-59-8P 182511-84-8P 182511-89-3P
(preparation of selective inhibitors of viral or bacterial neuraminidases)

L54 ANSWER 2 OF 11 USPATFULL on STN
AN 2004:70771 USPATFULL
TI Novel compounds and methods for synthesis and therapy
IN Bischofberger, Norbert W., San Carlos, CA, UNITED STATES
Dahl, Terrence C., Sunnyvale, CA, UNITED STATES
Hitchcock, Michael J. M., San Mateo, CA, UNITED STATES
Kim, Choung U., San Carlos, CA, UNITED STATES
Lew, Willard, San Mateo, CA, UNITED STATES
Liu, Hongtao, Foster City, CA, UNITED STATES
Mills, Roger G., Menlo Park, CA, UNITED STATES
Williams, Matthew A., Foster City, CA, UNITED STATES
PI US 2004053999 A1 20040318
AI US 2003-628773 A1 20030728 (10)
RLI Continuation of Ser. No. US 1998-153964, filed on 16 Sep 1998, PENDING
PRAI US 1997-60195P 19970926 (60) <--
US 1997-59308P 19970917 (60) <--
DT Utility
FS APPLICATION
LREP GILEAD SCIENCES INC, 333 LAKESIDE DR, FOSTER CITY, CA, 94404
CLMN Number of Claims: 13

ECL Exemplary Claim: 1
DRWN 8 Drawing Page(s)
LN.CNT 12454
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Novel compounds are described. The compounds generally comprise an acidic group, a basic group, a substituted amino or N-acyl and a group having an optionally hydroxylated alkane moiety. Pharmaceutical compositions comprising the inhibitors of the invention are also described. Methods of inhibiting neuraminidase in samples suspected of containing neuraminidase are also described. Antigenic materials, polymers, antibodies, conjugates of the compounds of the invention with labels, and assay methods for detecting neuraminidase activity are also described.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **187226-99-9P**
(preparation of antiviral unsatd. aminodeoxy cyclitols as neuraminidase inhibitors)
RN 187226-99-9 USPATFULL
CN 1-Cyclohexene-1-carboxylic acid, 4-(acetylamino)-5-amino-3-(methoxymethoxy)-, (3R,4R,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

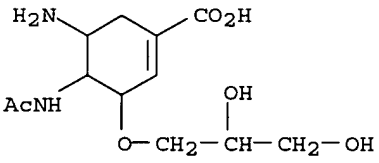


IT **187226-99-9P 187227-32-3P 196618-13-0P**
(preparation of antiviral unsatd. aminodeoxy cyclitols as neuraminidase inhibitors)
IT **187227-28-7P 187227-39-0P 187227-45-8P 195210-92-5P 204255-11-8P 208720-17-6P 208720-20-1P 208720-25-6P 208720-78-9P 221386-65-8P 221386-92-1P 221387-21-9P 221387-35-5P 221387-37-7P**
(preparation of antiviral unsatd. aminodeoxy cyclitols as neuraminidase inhibitors)
IT **208720-84-7**
(preparation of antiviral unsatd. aminodeoxy cyclitols as neuraminidase inhibitors)
IT **208589-18-8P 208720-68-7P 208720-71-2P 208720-73-4P 221386-90-9P**
(preparation of antiviral unsatd. aminodeoxy cyclitols as neuraminidase inhibitors)

L54 ANSWER 3 OF 11 USPATFULL on STN
AN 2002:113076 USPATFULL
TI Preparation of cyclohexene carboxylate derivatives
IN Kent, Kenneth M., Sunnyvale, CA, UNITED STATES
Kim, Choung U., San Carlos, CA, UNITED STATES
McGee, Lawrence R., Pacifica, CA, UNITED STATES
Munger, John D., Alviso, CA, UNITED STATES
Prisbe, Ernest J., Los Altos, CA, UNITED STATES
Postich, Michael J., Walnut Creek, CA, UNITED STATES
Rohloff, John C., Mountain View, CA, UNITED STATES
Kelly, Daphne E., San Francisco, CA, UNITED STATES
Williams, Matthew A., Foster City, CA, UNITED STATES
Zhang, Lijun, Foster City, CA, UNITED STATES
PA GILEAD SCIENCES, INC. (U.S. corporation)

PI US 2002058823 A1 20020516
AI US 2000-740504 A1 20001219 (9)
RLI Division of Ser. No. US 1999-242119, filed on 28 Apr 1999, GRANTED, Pat. No. US 6204398 A 371 of International Ser. No. WO 1997-US14813, filed on 22 Aug 1997, UNKNOWN
PRAI US 1996-701942 19960823 (08) <--
DT Utility
FS APPLICATION
LREP Mark L. Bosse, Gilead Sciences, Inc., 333 Lakeside Drive, Foster City, CA, 94404
CLMN Number of Claims: 39
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 1847
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The present invention provides new synthetic methods and compositions. In particular, new methods of preparing intermediates useful in the synthesis of neuraminidase inhibitors and compositions useful as intermediates that are themselves useful in the synthesis of neuraminidase inhibitors are provided.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **182367-52-8P**
(preparation of selective inhibitors of viral or bacterial neuraminidases)
RN 182367-52-8 USPATFULL
CN 1-Cyclohexene-1-carboxylic acid, 4-(acetylamino)-5-amino-3-(2,3-dihydroxypropoxy)- (9CI) (CA INDEX NAME)

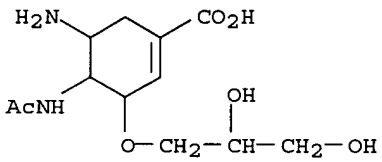


IT **182367-52-8P 182367-53-9P**
(preparation of selective inhibitors of viral or bacterial neuraminidases)
IT **182367-47-1P 182367-49-3P 182367-55-1P**
182367-71-1P 182367-95-9P 182367-96-0P
182368-29-2P 182368-43-0P 182368-44-1P
182368-48-5P 182368-50-9P 182368-51-0P
182368-59-8P 182511-84-8P 182511-89-3P
(preparation of selective inhibitors of viral or bacterial neuraminidases)

L54 ANSWER 4 OF 11 USPATFULL on STN
AN 2001:40612 USPATFULL
TI Preparation of cyclohexene carboxylate derivatives
IN Kent, Kenneth M., Sunnyvale, CA, United States
Kim, Choung U., San Carlos, CA, United States
McGee, Lawrence R., Pacifica, CA, United States
Munger, John D., Alviso, CA, United States
Prisbe, Ernest J., Los Altos, CA, United States
Postich, Michael J., Walnut Creek, CA, United States
Rohloff, John C., Mountain View, CA, United States
Kelly, Daphne E., San Francisco, CA, United States
Williams, Matthew A., Foster City, CA, United States
Zhang, Lijun, Foster City, CA, United States
PA Gilead Sciences, Inc., Foster City, CA, United States (U.S. corporation)
PI US 6204398 B1 20010320
WO 9807685 19980226
AI US 1999-242119 19990428 (9) <--
WO 1997-US14813 19970822 <--
19990428 PCT 371 date
19990428 PCT 102(e) date

RLI Continuation of Ser. No. US 1996-701942, filed on 23 Aug 1996, now patented, Pat. No. US 5859284
DT Utility
FS Granted
EXNAM Primary Examiner: Lambkin, Deborah C.
LREP Bosse, Mark L.
CLMN Number of Claims: 26
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 1937
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The present invention provides new synthetic methods and compositions. In particular, new methods of preparing intermediates such as those having formulas (I)-(IV), useful in the synthesis of neuraminidase inhibitors and compositions useful as intermediates that are themselves useful in the synthesis of neuraminidase inhibitors are provided. ##STR1##

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **182367-52-8P**
(preparation of selective inhibitors of viral or bacterial neuraminidases)
RN 182367-52-8 USPATFULL
CN 1-Cyclohexene-1-carboxylic acid, 4-(acetylamino)-5-amino-3-(2,3-dihydroxypropoxy)- (9CI) (CA INDEX NAME)



IT **182367-52-8P 182367-53-9P**
(preparation of selective inhibitors of viral or bacterial neuraminidases)
IT **182367-47-1P 182367-49-3P 182367-55-1P**
182367-71-1P 182367-95-9P 182367-96-0P
182368-29-2P 182368-43-0P 182368-44-1P
182368-48-5P 182368-50-9P 182368-51-0P
182368-59-8P 182511-84-8P 182511-89-3P
(preparation of selective inhibitors of viral or bacterial neuraminidases)

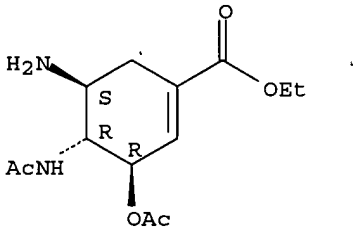
L54 ANSWER 5 OF 11 USPATFULL on STN
AN 2000:114158 USPATFULL
TI Compounds and methods for synthesis and therapy
IN Kim, Choung U., San Carlos, CA, United States
Lew, Willard, San Mateo, CA, United States
PA Gilead Sciences, Inc., Foster City, CA, United States (U.S. corporation)
PI US 6111132 20000829
AI US 1998-208646 19981210 (9)
PRAI US 1997-69553P 19971212 (60) <--
DT Utility
FS Granted
EXNAM Primary Examiner: Geist, Gary; Assistant Examiner: Oh, Taylor V
LREP Bosse, Mark L.
CLMN Number of Claims: 36
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 1299
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Novel compounds of Formula (I) are described. R.sup.1, R.sup.2, R.sup.3, R.sup.4, R.sup.5 and R.sup.6 are described in this specification.

Synthetic intermediates and pharmaceutical compositions comprising the inhibitors of the invention are also described. Methods of inhibiting

neuraminidase in samples suspected of containing neuraminidase are also described. Assay methods for detecting neuraminidase activity are also described.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **227599-87-3P**
(preparation of cyclohexenecarboxylates as neuraminidase inhibitors)
RN 227599-87-3 USPATFULL
CN 1-Cyclohexene-1-carboxylic acid, 4-(acetylamino)-3-(acetyloxy)-5-amino-, ethyl ester, (3R,4R,5S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



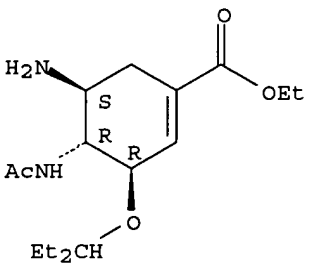
IT **227599-87-3P**
(preparation of cyclohexenecarboxylates as neuraminidase inhibitors)

L54 ANSWER 6 OF 11 USPATFULL on STN
AN 1999:110364 USPATFULL
TI Compounds and methods for synthesis and therapy
IN Bischofberger, Norbert W., San Carlos, CA, United States
Kim, Choung U., San Carlos, CA, United States
Lew, Willard, San Mateo, CA, United States
Liu, Hongtao, Foster City, CA, United States
Williams, Matthew A., Foster City, CA, United States
PA Gilead Sciences, Inc., Foster City, CA, United States (U.S. corporation)
PI US 5952375 19990914
AI US 1996-606624 19960226 (8) <--
RLI Continuation-in-part of Ser. No. US 1995-580567, filed on 29 Dec 1995, now abandoned which is a continuation-in-part of Ser. No. US 1995-476946, filed on 6 Jun 1995, now patented, Pat. No. US 5866601 which is a continuation-in-part of Ser. No. US 1995-395245, filed on 27 Feb 1995, now abandoned
DT Utility
FS Granted
EXNAM Primary Examiner: Weddington, Kevin E.
LREP Bosse, Mark L.
CLMN Number of Claims: 8
ECL Exemplary Claim: 1
DRWN 8 Drawing Figure(s); 8 Drawing Page(s)
LN.CNT 10750
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Novel compounds are described. The compounds generally comprise an acidic group, a basic group, a substituted amino or N-acyl and a group having an optionally hydroxylated alkane moiety. Pharmaceutical compositions comprising the inhibitors of the invention are also described. Methods of inhibiting neuraminidase in samples suspected of containing neuraminidase are also described. Antigenic materials, polymers, antibodies, conjugates of the compounds of the invention with labels, and assay methods for detecting neuraminidase activity are also described.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **196618-13-0P**
(preparation of amino acid cyclitols as influenza antiviral agents and neuraminidase inhibitors)

RN 196618-13-0 USPATFULL
CN 1-Cyclohexene-1-carboxylic acid, 4-(acetylamino)-5-amino-3-(1-ethylpropoxy)-, ethyl ester, (3R,4R,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



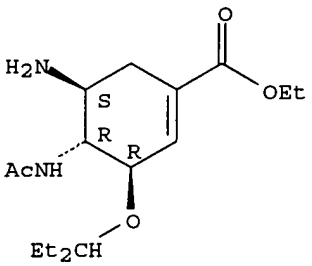
IT **196618-13-0P**
(preparation of amino acid cyclitols as influenza antiviral agents and neuraminidase inhibitors)
IT **208720-20-1P 243472-88-0P**
(preparation of amino acid cyclitols as influenza antiviral agents and neuraminidase inhibitors)
IT **187227-32-3P 187227-39-0P 187227-45-8P**
195210-92-5P 208589-18-8P 208720-17-6P
208720-25-6P 208720-68-7P 208720-71-2P
208720-73-4P 208720-78-9P 221386-90-9P
243472-98-2P 243473-00-9P
(preparation of amino acid cyclitols as influenza antiviral agents and neuraminidase inhibitors)

L54 ANSWER 7 OF 11 USPATFULL on STN
AN 1999:37324 USPATFULL
TI Preparation of carbocyclic compounds
IN Kent, Kenneth M., Sunnyvale, CA, United States
Kim, Choung U., San Carlos, CA, United States
McGee, Lawrence R., Pacifica, CA, United States
Munger, John D., Alviso, CA, United States
Prisbe, Ernest J., Los Altos, CA, United States
Postich, Michael J., San Mateo, CA, United States
Rohloff, John C., Mountain View, CA, United States
Kelly, Daphne E., San Francisco, CA, United States
Williams, Matthew A., Foster City, CA, United States
Zhang, Lijun, Foster City, CA, United States
PA Gilead Sciences, Inc., Foster City, CA, United States (U.S. corporation)
PI US 5886213 19990323
AI US 1997-917640 19970822 (8) <--
DT Utility
FS Granted
EXNAM Primary Examiner: Richter, Johann; Assistant Examiner: Solola, Tadfiq A.
LREP Bosse, Mark L.
CLMN Number of Claims: 3
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 1965
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The present invention provides new synthetic methods and compositions. In particular, new methods of preparing intermediates useful in the synthesis of neuraminidase inhibitors and compositions useful as intermediates that are themselves useful in the synthesis of neuraminidase inhibitors are provided.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **196618-13-0P**
(preparation of carbocyclic compds.)

RN 196618-13-0 USPATFULL
CN 1-Cyclohexene-1-carboxylic acid, 4-(acetylamino)-5-amino-3-(1-ethylpropoxy)-, ethyl ester, (3R,4R,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

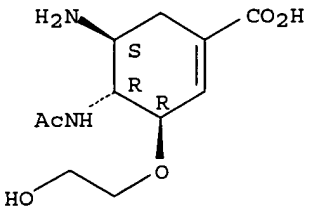


IT **196618-13-0P**
(preparation of carbocyclic compds.)
IT **204255-09-4P 204255-11-8P**
(preparation of carbocyclic compds.)

L54 ANSWER 8 OF 11 USPATFULL on STN
AN 1999:15955 USPATFULL
TI Carbocyclic compounds
IN Lew, Willard, San Mateo, CA, United States
Kim, Choung U., San Carlos, CA, United States
Liu, Hongtao, Foster City, CA, United States
Williams, Matthew A., Foster City, CA, United States
PA Gilead Sciences, Inc., Foster City, CA, United States (U.S. corporation)
PI US 5866601 19990202
AI US 1995-476946 19950606 (8) <--
RLI Continuation-in-part of Ser. No. US 1995-395245, filed on 27 Feb 1995, now abandoned
DT Utility
FS Granted
EXNAM Primary Examiner: Weddington, Kevin
LREP Bosse, Mark L.
CLMN Number of Claims: 31
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 3744
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Novel carbocyclic compounds are described. The compounds generally comprise an acidic group, a basic group, a substituted amino or N-acyl and a group having an optionally hydroxylated alkane moiety. Pharmaceutical compositions comprising the inhibitors of the invention are also described. Methods of inhibiting neuraminidase in samples suspected of containing neuraminidase are also described. Antigenic materials, polymers, antibodies, conjugates of the compounds of the invention with labels, and assay methods for detecting neuraminidase activity are also described.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **182367-53-9**
(neuraminidase inhibitors)
RN 182367-53-9 USPATFULL
CN 1-Cyclohexene-1-carboxylic acid, 4-(acetylamino)-5-amino-3-(2-hydroxyethoxy)-, (3R,4R,5S)-rel- (9CI) (CA INDEX NAME)

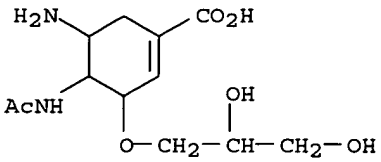
Relative stereochemistry.



IT **182367-53-9**
(neuraminidase inhibitors)
IT **182367-49-3P 220290-33-5P**
(preparation as neuraminidase inhibitors)
IT **182367-96-0P 220290-41-5P**
(preparation of)
IT **182367-55-1 220290-42-6**
(reactant for preparation of aminocyclohexenecarboxylates as neuraminidase inhibitors)

L54 ANSWER 9 OF 11 USPATFULL on STN
AN 1999:4935 USPATFULL
TI Preparation of carbocyclic compounds
IN Kent, Kenneth M., Sunnyvale, CA, United States
Kim, Choung U., San Carlos, CA, United States
McGee, Lawrence R., Pacifica, CA, United States
Munger, Jr., John D., Alviso, CA, United States
Prisbe, Ernest J., Los Altos, CA, United States
Postich, Michael J., San Mateo, CA, United States
Rohloff, John C., Mountain View, CA, United States
St. John, Daphne E., San Francisco, CA, United States
Williams, Matthew A., Foster City, CA, United States
Zhang, Lijun, Foster City, CA, United States
PA Gilead Sciences, Inc., Foster City, CA, United States (U.S. corporation)
PI US 5859284 19990112
AI US 1996-701942 19960823 (8) <--
DT Utility
FS Granted
EXNAM Primary Examiner: Stockton, Laura L.
LREP Bosse, Mark L.
CLMN Number of Claims: 3
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 1599
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The present invention provides new synthetic methods and compositions. In particular, new methods of preparing intermediates useful in the synthesis of neuraminidase inhibitors and compositions useful as intermediates that are themselves useful in the synthesis of neuraminidase inhibitors are provided.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **182367-52-8P**
(preparation of selective inhibitors of viral or bacterial neuraminidases)
RN 182367-52-8 USPATFULL
CN 1-Cyclohexene-1-carboxylic acid, 4-(acetylamino)-5-amino-3-(2,3-dihydroxypropoxy)- (9CI) (CA INDEX NAME)

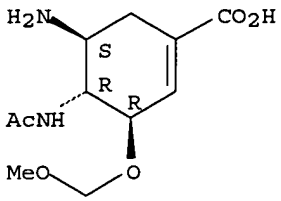


IT **182367-52-8P 182367-53-9P**
(preparation of selective inhibitors of viral or bacterial neuraminidases)
IT **182367-47-1P 182367-49-3P 182367-55-1P**
182367-71-1P 182367-95-9P 182367-96-0P
182368-29-2P 182368-43-0P 182368-44-1P
182368-48-5P 182368-50-9P 182368-51-0P
182368-59-8P 182511-84-8P 182511-89-3P
(preparation of selective inhibitors of viral or bacterial neuraminidases)

L54 ANSWER 10 OF 11 USPATFULL on STN
AN 1998:65265 USPATFULL
TI Carbocyclic compounds
IN Bischofberger, Norbert W., San Carlos, CA, United States
Kim, Choung U., San Carlos, CA, United States
Lew, Willard, San Mateo, CA, United States
Liu, Hongtao, Foster City, CA, United States
Williams, Matthew A., Foster City, CA, United States
PA Gilead Sciences, Inc., Foster City, CA, United States (U.S. corporation)
PI US 5763483 19980609
AI US 1996-774345 19961227 (8) <--
PRAI US 1995-9306P 19951229 (60) <--
DT Utility
FS Granted
EXNAM Primary Examiner: Daus, Donald G.
LREP Bosse, Mark L.
CLMN Number of Claims: 7
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 5694
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Novel carbocyclic compounds are described. The compounds generally comprise an acidic group, a basic group, a substituted amino or N-acyl and a group having an optionally hydroxylated alkane moiety. Pharmaceutical compositions comprising the inhibitors of the invention are also described. Methods of inhibiting neuraminidase in samples suspected of containing neuraminidase are also described. Antigenic materials, polymers, antibodies, conjugates of the compounds of the invention with labels, and assay methods for detecting neuraminidase activity are also described.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **187226-99-9P**
(preparation of aminocyclohexenylcarboxylates and related compds. as neuraminidase inhibitors)
RN 187226-99-9 USPATFULL
CN 1-Cyclohexene-1-carboxylic acid, 4-(acetylamino)-5-amino-3-(methoxymethoxy)-, (3R,4R,5S)- (9CI) (CA INDEX NAME)

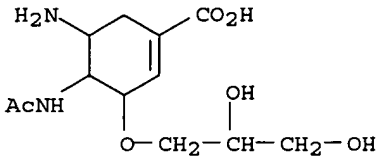
Absolute stereochemistry.



IT 187226-99-9P 196618-13-0P 208589-18-8P
208720-68-7P 208720-71-2P 208720-73-4P
208720-78-9P
(preparation of aminocyclohexenylcarboxylates and related compds. as neuraminidase inhibitors)
IT 187227-32-3P 187227-39-0P 187227-45-8P
195210-92-5P 208720-12-1P 208720-16-5P
208720-17-6P 208720-20-1P 208720-25-6P
(preparation of aminocyclohexenylcarboxylates and related compds. as neuraminidase inhibitors)
IT 208720-84-7
(preparation of aminocyclohexenylcarboxylates and related compds. as neuraminidase inhibitors)

L54 ANSWER 11 OF 11 USPAT2 on STN
AN 2002:280848 USPAT2
TI Preparation of cyclohexene carboxylate derivatives
IN Kent, Kenneth M., Sunnyvale, CA, United States
Kim, Choung U., San Carlos, CA, United States
McGee, Lawrence R., Pacifica, CA, United States
Munger, John D., Alviso, CA, United States
Prisbe, Ernest J., Los Altos, CA, United States
Postich, Michael J., Walnut Creek, CA, United States
Rohloff, John C., Mountain View, CA, United States
Kelly, Daphne E., San Francisco, CA, United States
Williams, Matthew A., Foster City, CA, United States
Zhang, Lijun, Foster City, CA, United States
PA Gilead Sciences, Inc., Foster City, CA, United States (U.S. corporation)
PI US 6518438 B2 20030211
AI US 2001-967368 20010927 (9)
RLI Continuation of Ser. No. US 2000-740504, filed on 19 Dec 2000, now abandoned Division of Ser. No. US 242119, now patented, Pat. No. US 6204398
PRAI US 1996-24122P 19960823 (60) <--
DT Utility
FS GRANTED
EXNAM Primary Examiner: Lambkin, Deborah C.
LREP Bosse, Mark L.
CLMN Number of Claims: 8
ECL Exemplary Claim: 1
DRWN 0 Drawing Figure(s); 0 Drawing Page(s)
LN.CNT 1663
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The present invention provides new synthetic methods and compositions. In particular, new methods of preparing intermediates useful in the synthesis of neuraminidase inhibitors and compositions useful as intermediates that are themselves useful in the synthesis of neuraminidase inhibitors are provided.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT 182367-52-8P
(preparation of selective inhibitors of viral or bacterial neuraminidases)
RN 182367-52-8 USPAT2
CN 1-Cyclohexene-1-carboxylic acid, 4-(acetylamino)-5-amino-3-(2,3-dihydroxypropoxy)- (9CI) (CA INDEX NAME)



IT **182367-52-8P 182367-53-9P**
(preparation of selective inhibitors of viral or bacterial neuraminidases)
IT **182367-47-1P 182367-49-3P 182367-55-1P**
182367-71-1P 182367-95-9P 182367-96-0P
182368-29-2P 182368-43-0P 182368-44-1P
182368-48-5P 182368-50-9P 182368-51-0P
182368-59-8P 182511-84-8P 182511-89-3P
(preparation of selective inhibitors of viral or bacterial neuraminidases)

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(FILE 'HOME' ENTERED AT 13:51:24 ON 15 DEC 2005)

FILE 'REGISTRY' ENTERED AT 13:51:43 ON 15 DEC 2005
ACT KAN773F0/A

L1 STR
L2 110 SEA FILE=REGISTRY SSS FUL L1

FILE 'REGISTRY' ENTERED AT 14:02:57 ON 15 DEC 2005
L3 9 C16H28N2O4 AND L2
SEL RN 1-3 5-7 9
L4 7 L3 AND E1-7
L5 7 C14H24N2O4 AND L2
SEL RN 4 7
L6 2 E8-9 AND L5

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L7 262 L2
L8 217 L4
L9 73 L6

FILE 'REGISTRY' ENTERED AT 14:48:12 ON 15 DEC 2005
L10 14 L4-6
L11 9 L4,L6
SAV TEM L11 KAN773F1/A

FILE 'HCAPLUS' ENTERED AT 14:49:05 ON 15 DEC 2005
E BISCHOFBERGER N/AU
L12 128 E3-5
E DAHL T/AU
L13 38 E3-11
E HITCHCOCK M/AU
L14 67 E3-5,E14-18
E KIM C/AU
L15 462 E3,E33
E KIM CHUONG/AU
E KIM CHOUNG/AU
L16 111 E3,E8-10
E LEW H/AU
E LEW W/AU
L17 71 E3-4,E10
E LIU H/AU
L18 2996 E3-33

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                E LIU HUNG/AU
                E LIU HUNGTAO/AU
                E MILLS R/AU
L19          130 E3,E12
                E MILLS ROGER/AU
L20            6 E3,E6
                E WILLIAMS M/AU
L21          416 E3-6
                E WILLIAMS MATT/AU
L22           62 E3,E5-8
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L26           32 L8-9 AND L12-24
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                SEL HIT RN

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L32          237 L7-9 AND PHARM?/SC,SX
L33          210 L30 AND PHARM?/SC,SX
L34          273 L32-33
L35           32 L34 AND L12-24
L36           51 GS 4104 OR GS4104
L37           43 L36 AND PHARM?/SC,SX
L38          277 L34,L37
L39           32 L38 AND L12-24
L40          233 L8-9 AND L34
L41           40 L34 NOT L40
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L49            3 L48 AND L12-24
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L51            2 L45,L48 NOT L50

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L52            0 L7-9

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L53           68 L7-9
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